

10/530,767 Yong Chu 10-13-2007

\$%^STN;HighlightOn=;HighlightOff=;

Connecting via Winsock to STN

*L11 is bond.
only art 4/4.*

Welcome to STN International! Enter x:x

LOGINID:ssptaylc1626

PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * Welcome to STN International * * * * *

NEWS 1		Web Page for STN Seminar Schedule - N. America
NEWS 2	JUL 02	LMEDLINE coverage updated
NEWS 3	JUL 02	SCISEARCH enhanced with complete author names
NEWS 4	JUL 02	CHEMCATS accession numbers revised
NEWS 5	JUL 02	CA/CAPplus enhanced with utility model patents from China
NEWS 6	JUL 16	CAPplus enhanced with French and German abstracts
NEWS 7	JUL 18	CA/CAPplus patent coverage enhanced
NEWS 8	JUL 26	USPATFULL/USPAT2 enhanced with IPC reclassification
NEWS 9	JUL 30	USGENE now available on STN
NEWS 10	AUG 06	CAS REGISTRY enhanced with new experimental property tags
NEWS 11	AUG 06	BEILSTEIN updated with new compounds
NEWS 12	AUG 06	FSTA enhanced with new thesaurus edition
NEWS 13	AUG 13	CA/CAPplus enhanced with additional kind codes for granted patents
NEWS 14	AUG 20	CA/CAPplus enhanced with CAS indexing in pre-1907 records
NEWS 15	AUG 27	Full-text patent databases enhanced with predefined patent family display formats from INPADOCDB
NEWS 16	AUG 27	USPATOLD now available on STN
NEWS 17	AUG 28	CAS REGISTRY enhanced with additional experimental spectral property data
NEWS 18	SEP 07	STN AnaVist, Version 2.0, now available with Derwent World Patents Index
NEWS 19	SEP 13	FORIS renamed to SOFIS
NEWS 20	SEP 13	INPADOCDB enhanced with monthly SDI frequency
NEWS 21	SEP 17	CA/CAPplus enhanced with printed CA page images from 1967-1998
NEWS 22	SEP 17	CAPplus coverage extended to include traditional medicine patents
NEWS 23	SEP 24	EMBASE, EMBAL, and LEMBASE reloaded with enhancements
NEWS 24	OCT 02	CA/CAPplus enhanced with pre-1907 records from Chemisches Zentralblatt
NEWS EXPRESS	19 SEPTEMBER 2007:	CURRENT WINDOWS VERSION IS V8.2, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 19 SEPTEMBER 2007.
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* * * * * STN Columbus * * * * *

FILE 'HOME' ENTERED AT 14:37:38 ON 13 OCT 2007

=> file reg

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

0.21

0.21

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DICTIONARY FILE UPDATES: 12 OCT 2007 HIGHEST RN 950645-26-8

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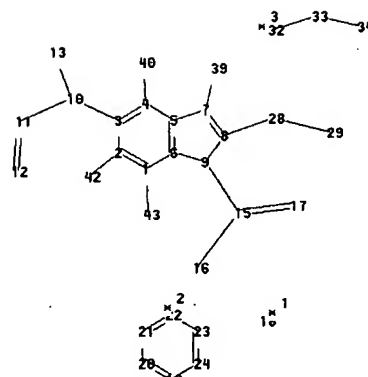
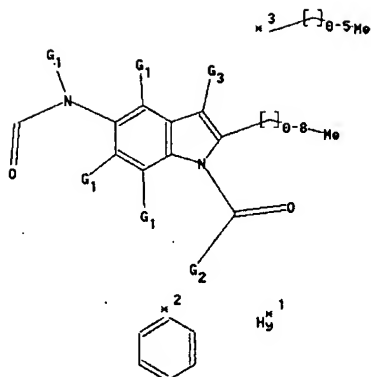
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<http://www.cas.org/support/stngen/stndoc/properties.html>

=>

Uploading C:\Documents and Settings\ychu\Desktop\Case\10530767\10530767.str



chain nodes :

10 11 12 13 15 16 17 18 28 29 32 33 34 39 40 42 43

ring nodes :

1 2 3 4 5 6 7 8 9 19 20 21 22 23 24

chain bonds :

1-43 2-42 3-10 4-40 7-39 8-28 9-15 10-11 10-13 11-12 15-16 15-17 28-29
32-33 33-34

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-9 7-8 8-9 19-20 19-24 20-21 21-22 22-23
23-24

exact/norm bonds :

1-43 2-42 3-10 4-40 5-7 6-9 7-8 7-39 8-9 9-15 10-11 10-13 11-12 15-16
15-17

exact bonds :

8-28 28-29 32-33 33-34

normalized bonds :

1-2 1-6 2-3 3-4 4-5 5-6 19-20 19-24 20-21 21-22 22-23 23-24

G1:H,CH3,Et

G2:[*1],[*2]

G3:H,CH3,[*3]

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS

11:CLASS 12:CLASS 13:CLASS 15:CLASS 16:CLASS 17:CLASS 18:Atom 19:Atom

20:Atom 21:Atom 22:Atom

23:Atom 24:Atom 28:CLASS 29:CLASS 32:CLASS 33:CLASS 34:CLASS 39:CLASS

40:CLASS 42:CLASS

43:CLASS

Generic attributes :

18:

Saturation : Unsaturated

Number of Carbon Atoms : less than 7

L1 STRUCTURE UPLOADED

=> d

L1 HAS NO ANSWERS

L1 STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.

=> s l1

SAMPLE SEARCH INITIATED 14:38:19 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 77 TO ITERATE

100.0% PROCESSED 77 ITERATIONS

5 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS: 1014 TO 2066

PROJECTED ANSWERS: 5 TO 234

L2 5 SEA SSS SAM L1

=> s l1 full

FULL SEARCH INITIATED 14:38:26 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 1599 TO ITERATE

100.0% PROCESSED 1599 ITERATIONS

78 ANSWERS

SEARCH TIME: 00.00.01

L3 78 SEA SSS FUL L1

=> file caplus

COST IN U.S. DOLLARS

SINCE FILE TOTAL

ENTRY SESSION

FULL ESTIMATED COST

172.10 172.31

FILE 'CAPLUS' ENTERED AT 14:38:32 ON 13 OCT 2007

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FILE COVERS 1907 - 13 Oct 2007 VOL 147 ISS 17

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=> s 13

L4 1 L3

=> d ibib abs tot

L4 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2004:354934 CAPLUS Full-text

DOCUMENT NUMBER: 140:357207

TITLE: Preparation of substituted indoles and their use as HCV inhibitors

INVENTOR(S): Singh, Rajinder; Darwish, Ihab S.; Kolluri, Rao S. S.; Thota, Sambaiah; Lu, Henry H.

PATENT ASSIGNEE(S): Rigel Pharmaceuticals, Inc., USA

SOURCE: PCT Int. Appl., 83 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

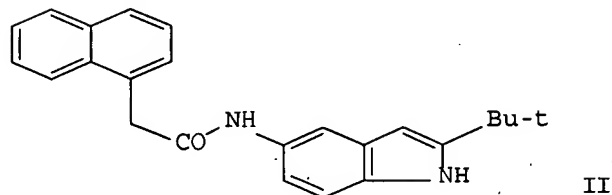
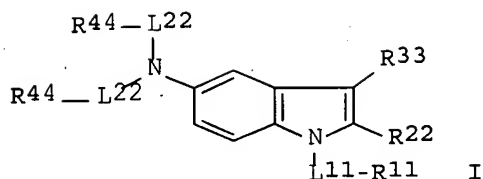
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004035571	A1	20040429	WO 2003-US32947	20031015
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
CA 2501547	A1	20040429	CA 2003-2501547	20031015
AU 2003287160	A1	20040504	AU 2003-287160	20031015
EP 1554271	A1	20050720	EP 2003-781338	20031015
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK			
JP 2006505571	T	20060216	JP 2004-545437	20031015
US 2005215614	A1	20050929	US 2005-530767	20050407
PRIORITY APPLN. INFO.:			US 2002-419012P	P 20021015
			WO 2003-US32947	W 20031015

OTHER SOURCE(S): MARPAT 140:357207

GI



AB The present invention comprises indole derivs. (shown as I; variables defined below; e.g. II) that are inhibitors of HCV. Compn. comprising the compds. in combination with a pharmaceutically acceptable carrier are also disclosed, as are methods of using the compds. and compns. to inhibit HCV infection of a cell, particular as treating HCV infection in a mammal. For I: L11 is carboxy, or a covalent bond when R11 is H; R11 is H except when L11 is carboxy, Ph substituted with 1-3 R50, or C4-6-heteroaryl contg. 1-3 heteroatoms = N, S, and O and substituted with 1-3 R50; R22 is H, or C1-6 alkyl, such as CH3, tert-Bu, or neopentyl; R33 is H, CH3 or C1-3 alkyl; each L22 = C(O), C1-4 alkyl, C1-4 alkylC(O) or a covalent bond. Each R44 = H, (un)substituted C1-6 alkyl, (un)substituted C3-7 cycloalkyl, (un)substituted C3-7 heterocycloalkyl contg. .gtoreq.1 N, O or S, C3-7 cycloalkanone, (un)substituted C3-7 monocyclic or C7-13 bicyclic aryl, (un)substituted C3-6 monocyclic or C5-13 bicyclic heteroaryl contg. .gtoreq.1 N, O, or S, or (un)substituted C3-6 monocyclic or C5-13 bicyclic heterocycle contg. .gtoreq.1 N, O, or S, wherein said optional substitutions are 1-4 R6. Each R50 = H, halo, Cl, F, CF3, C1-C3 perfluoro, C1-C3 perhalo, -OC1-C3 perhalo, NO2, CH3, R7, -OCH3, -OR7, -SR7, -CN, -NHR7, -N(R7)2, -CON(H)R23CON(R7)2, -R23N(H)R7, -R23N(R7)2; each R6 = H, halo, Cl, F, -CF3, -NO2, -R5, -SR50, -OR50, -CN, N(R50)2, -C(O)R50, -R23C(O)R50, -CON(R5)2, C4-C6 cycloalkyl, C3-7 cycloalkanone, C4-6 cycloalkylamine, C3-6 monocyclic or C5-13 bicyclic heteroaryl contg. .gtoreq.1 N, O, or S or a C6-C12 monocyclic or bicyclic heterocycle contg. .gtoreq.1 N, O, or S; R7 is H, halo or C1-6 alkyl; R23 is a bond or C1-C6 alkyl; with provisos. Although the methods of prepn. are not claimed, many example prepn. are included. For example, II was prepd. in 4 steps (65, 87, 92, 55 % yields, resp.) starting with coupling of 2-iodo-4-nitroaniline with 3,3-dimethyl-1-butyne to give 2-(3',3'-Dimethylbut-1-ynyl)-4-nitroaniline; which was cyclized to 2-tert-butyl-5-nitroindole, which was reduced to 5-amino-2-tert-butylindole, which was coupled with naphth-1-ylacetyl chloride. The activity of many I are tabulated.

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> file reg
COST IN U.S. DOLLARS

SINCE FILE ENTRY	TOTAL SESSION
4.24	176.55

FULL ESTIMATED COST

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE ENTRY	TOTAL SESSION

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-0.78

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DICTIONARY FILE UPDATES: 12 OCT 2007 HIGHEST RN 950645-26-8

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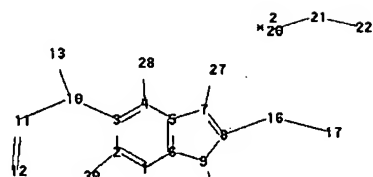
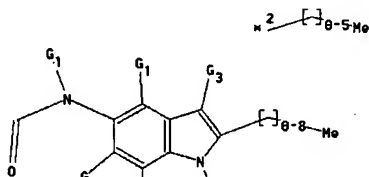
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=>

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chain nodes :

10 11 12 13 16 17 20 21 22 27 28 30 31 32

ring nodes :

1 2 3 4 5 6 7 8 9

chain bonds :

1-31 2-30 3-10 4-28 7-27 8-16 9-32 10-11 10-13 11-12 16-17 20-21 21-22

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-9 7-8 8-9

exact/norm bonds :

1-31 2-30 3-10 4-28 5-7 6-9 7-8 7-27 8-9 9-32 10-11 10-13 11-12

exact bonds :

8-16 16-17 20-21 21-22

normalized bonds :

1-2 1-6 2-3 3-4 4-5 5-6

G2

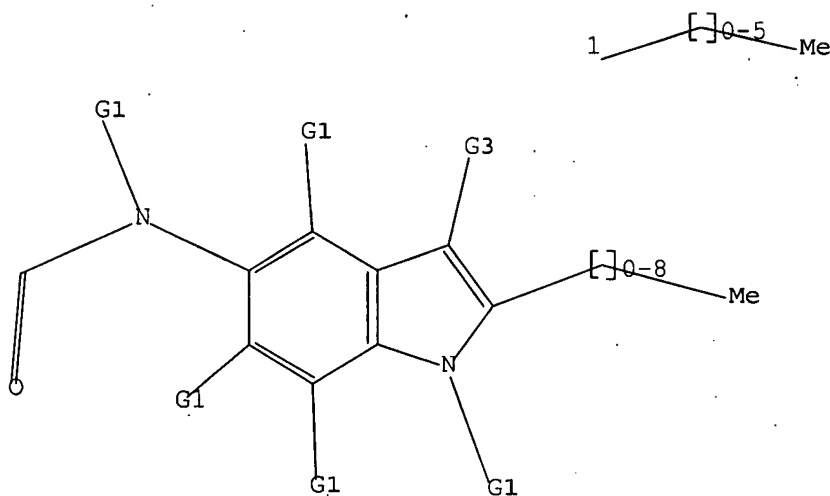
Match level :

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1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS
11:CLASS 12:CLASS 13:CLASS 16:CLASS 17:CLASS 20:CLASS 21:CLASS 22:CLASS
27:CLASS 28:CLASS
30:CLASS 31:CLASS 32:CLASS
```

$$\Rightarrow d$$

L5 HAS NO ANSWERS

L5 STR



G2

G3 H, Me, [1]

Structure attributes must be viewed using STN Express query preparation.

=> s 15

SAMPLE SEARCH INITIATED 14:41:14 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 1032 TO ITERATE

100.0% PROCESSED 1032 ITERATIONS

12 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS: 18713 TO 22567

PROJECTED ANSWERS:

33 TO 447

L6 12 SEA SSS SAM L5

=> s 15 full

FULL SEARCH INITIATED 14:42:46 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 20423 TO ITERATE

100.0% PROCESSED 20423 ITERATIONS

273 ANSWERS

SEARCH TIME: 00.00.01

L7 273 SEA SSS FUL L5

=> file caplus

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

173.45

350.00

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE

TOTAL

ENTRY

SESSION

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FILE COVERS 1907 - 13 Oct 2007 VOL 147 ISS 17

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=> s 17

L8 74 L7

=> file reg

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

3.29

353.29

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE

TOTAL

ENTRY

SESSION

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DICTIONARY FILE UPDATES: 12 OCT 2007 HIGHEST RN 950645-26-8

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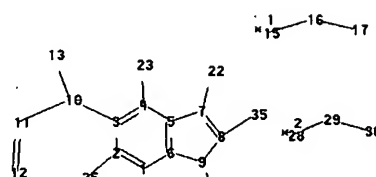
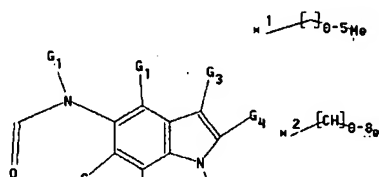
Please note that search-term pricing does apply when
conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and
predicted properties as well as tags indicating availability of
experimental property data in the original document. For information
on property searching in REGISTRY, refer to:

<http://www.cas.org/support/stngen/stndoc/properties.html>

=>

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chain nodes :

10 11 12 13 15 16 17 22 23 25 26 27 28 29 30 35

ring nodes :

1 2 3 4 5 6 7 8 9

chain bonds :

1-26 2-25 3-10 4-23 7-22 8-35 9-27 10-11 10-13 11-12 15-16 16-17 28-29
29-30

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-9 7-8 8-9

exact/norm bonds :

1-26 2-25 3-10 4-23 5-7 6-9 7-8 7-22 8-9 8-35 9-27 10-11 10-13 11-12

exact bonds :

15-16 16-17 28-29 29-30

normalized bonds :

1-2 1-6 2-3 3-4 4-5 5-6

G1:H, CH3, Et

G3:H,CH3, [*1]

G4:CH3,Et, [*2]

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS
11:CLASS 12:CLASS 13:CLASS 15:CLASS 16:CLASS 17:CLASS 22:CLASS 23:CLASS
25:CLASS 26:CLASS
27:CLASS 28:CLASS 29:CLASS 30:CLASS 35:CLASS

L9 STRUCTURE UPLOADED

=> d

L9 HAS NO ANSWERS

L9 STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.

=> s l9

SAMPLE SEARCH INITIATED 14:47:39 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 1022 TO ITERATE

100.0% PROCESSED 1022 ITERATIONS

12 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS: 18523 TO 22357

PROJECTED ANSWERS: 33 TO 447

L10 12 SEA SSS SAM L9

=> s l9 full

FULL SEARCH INITIATED 14:47:59 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 20218 TO ITERATE

100.0% PROCESSED 20218 ITERATIONS

273 ANSWERS

SEARCH TIME: 00.00.01

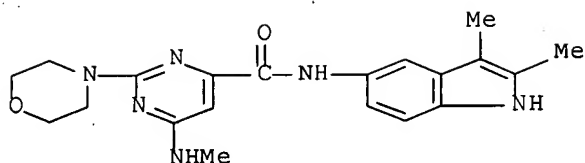
L11 273 SEA SSS FUL L9

=> d scan

L11 273 ANSWERS REGISTRY COPYRIGHT 2007 ACS on STN

IN 4-Pyrimidinecarboxamide, N-(2,3-dimethyl-1H-indol-5-yl)-6-(methylamino)-2-(4-morpholinyl)-

MF C20 H24 N6 O2

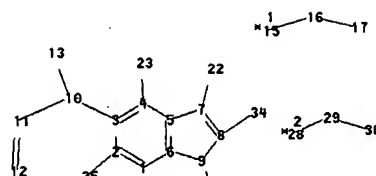
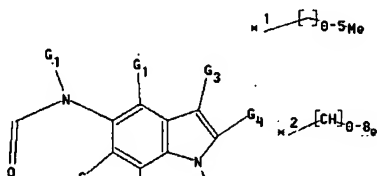


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):
HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):end

=>

Uploading C:\Documents and Settings\ychu\Desktop\Case\10530767\10530767c.str



chain nodes :

10 11 12 13 15 16 17 22 23 25 26 27 28 29 30 34

ring nodes :

1 2 3 4 5 6 7 8 9

chain bonds :

1-26 2-25 3-10 4-23 7-22 8-34 9-27 10-11 10-13 11-12 15-16 16-17 28-29
29-30

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-9 7-8 8-9

exact/norm bonds :

1-26 2-25 3-10 4-23 5-7 6-9 7-8 7-22 8-9 8-34 9-27 10-11 10-13 11-12

exact bonds :

15-16 16-17 28-29 29-30

normalized bonds :

1-2 1-6 2-3 3-4 4-5 5-6

G1:H,CH3,Et

G3:H,CH3, [*1]

G4:Et, [*2]

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS
11:CLASS 12:CLASS 13:CLASS 15:CLASS 16:CLASS 17:CLASS 22:CLASS 23:CLASS

25:CLASS 26:CLASS
27:CLASS 28:CLASS 29:CLASS 30:CLASS 34:CLASS

L12 STRUCTURE UPLOADED

=> d

L12 HAS NO ANSWERS

L12 STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.

=> s l12

SAMPLE SEARCH INITIATED 14:51:04 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 1022 TO ITERATE

100.0% PROCESSED 1022 ITERATIONS

1 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS: 18523 TO 22357

PROJECTED ANSWERS: 1 TO 80

L13 1 SEA SSS SAM L12

=> s l12 full

FULL SEARCH INITIATED 14:51:12 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 20218 TO ITERATE

100.0% PROCESSED 20218 ITERATIONS

47 ANSWERS

SEARCH TIME: 00.00.01

L14 47 SEA SSS FUL L12

=> file caplus

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

346.45

699.74

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE

TOTAL

ENTRY

SESSION

CA SUBSCRIBER PRICE

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-0.78

FILE 'CAPLUS' ENTERED AT 14:51:24 ON 13 OCT 2007

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=> s 114

L15 4 L14

=> d ibib abs hitstr tot

L15 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2007:906796 CAPLUS Full-text

DOCUMENT NUMBER: 147:235175

TITLE: Preparation of benzimidazoles, benzoxazoles, benzothiazoles, indoles and their analogs for the treatment of muscular dystrophy and cachexia
INVENTOR(S): Wynne, Graham Michael; Wren, Stephen Paul; Johnson, Peter David; Price, Damien; De Moor, Olivier; Nugent, Gary; Tinsley, Jonathan Mark; Storer, Richard; Mulvaney, Andrew; Pye, Richard Joseph; Dorgan, Colin Richard

PATENT ASSIGNEE(S): Vastox PLC, UK

SOURCE: PCT Int. Appl., 170pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

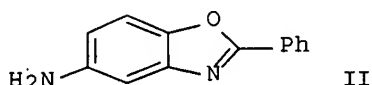
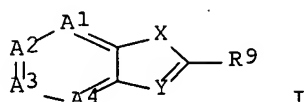
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007091106	A2	20070816	WO 2007-GB50055	20070209
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			

PRIORITY APPLN. INFO.:

GB 2006-2768	A	20060210
GB 2006-14690	A	20060724
GB 2006-19281	A	20060929
GB 2006-23983	A	20061130

OTHER SOURCE(S): MARPAT 147:235175

GI



AB Title compds. I [wherein A1, A2, A3, A4, Y = N or (un)substituted CH; R9 = (un)substituted aryl, alkyl, heteroaryl, etc.; X = O, S, NH, etc.] or pharmaceutically acceptable salts thereof, which can upregulate endogenous utrophin in predictive screens and therefore may be useful for the treatment of Duchenne muscular dystrophy, Becker muscular dystrophy and cachexia, were prepd. For instance, polyphosphoric acid-mediated cyclization of 2,4-diaminophenol dihydrochloride with benzoic acid gave benzoxazole II. Biol. activities of the invented compds. were assessed. Some I had > 400% activity relative to control using the luciferase reporter assay in murine H2K cells.

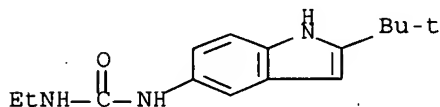
IT 397872-94-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; prepn. of benzimidazoles, benzoxazoles, benzothiazoles, indoles, and their analogs for treatment of muscular dystrophy and cachexia)

RN 397872-94-5 CAPLUS

CN Urea, N-[2-(1,1-dimethylethyl)-1H-indol-5-yl]-N'-ethyl- (9CI) (CA INDEX NAME)



L15 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2004:354934 CAPLUS Full-text

DOCUMENT NUMBER: 140:357207

TITLE: Preparation of substituted indoles and their use as HCV inhibitors

INVENTOR(S): Singh, Rajinder; Darwish, Ihab S.; Kolluri, Rao S. S.; Thota, Sambaiah; Lu, Henry H.

PATENT ASSIGNEE(S): Rigel Pharmaceuticals, Inc., USA

SOURCE: PCT Int. Appl., 83 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004035571	A1	20040429	WO 2003-US32947	20031015

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

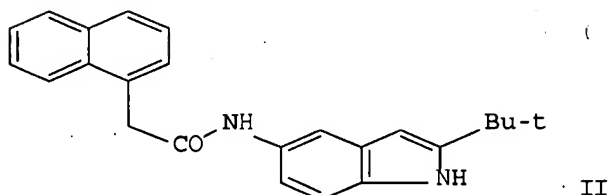
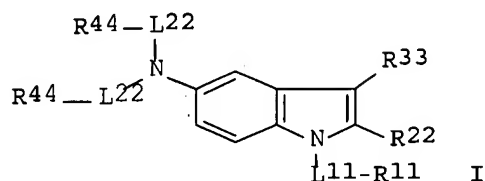
CA 2501547 A1 20040429 CA 2003-2501547 20031015
AU 2003287160 A1 20040504 AU 2003-287160 20031015
EP 1554271 A1 20050720 EP 2003-781338 20031015

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JP 2006505571 T 20060216 JP 2004-545437 20031015
US 2005215614 A1 20050929 US 2005-530767 20050407

PRIORITY APPLN. INFO.: US 2002-419012P P 20021015
WO 2003-US32947 W 20031015

OTHER SOURCE(S): MARPAT 140:357207
GI



AB The present invention comprises indole derivs. (shown as I; variables defined below; e.g. II) that are inhibitors of HCV. Compn. comprising the compds. in combination with a pharmaceutically acceptable carrier are also disclosed, as are methods of using the compds. and compns. to inhibit HCV infection of a cell, particular as treating HCV infection in a mammal. For I: L11 is carboxy, or a covalent bond when R11 is H; R11 is H except when L11 is carboxy, Ph substituted with 1-3 R50, or C4-6-heteroaryl contg. 1-3 heteroatoms = N, S, and O and substituted with 1-3 R50; R22 is H, or C1-6 alkyl, such as CH3, tert-Bu, or neopentyl; R33 is H, CH3 or C1-3 alkyl; each L22 = C(O), C1-4 alkyl, C1-4 alkylC(O) or a covalent bond. Each R44 = H, (un)substituted C1-6 alkyl, (un)substituted C3-7 cycloalkyl, (un)substituted C3-7 heterocycloalkyl contg. .gtoreq.1 N, O or S, C3-7 cycloalkanone, (un)substituted C3-7 monocyclic or C7-13 bicyclic aryl, (un)substituted C3-6 monocyclic or C5-13 bicyclic heteroaryl contg. .gtoreq.1 N, O, or S, or (un)substituted C3-6 monocyclic or C5-13 bicyclic heterocycle contg. .gtoreq.1 N, O, or S, wherein said optional substitutions are 1-4 R6. Each R50 = H, halo, Cl, F, CF3, C1-C3

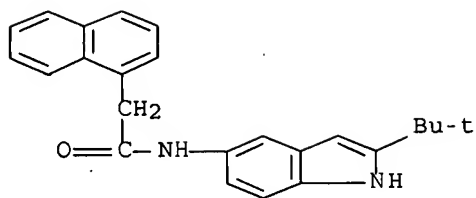
perfluoro, C1-C3 perhalo, -OC1-C3 perhalo, NO2, CH3, R7, -OCH3, -OR7, -SR7, -CN, -NHR7, -N(R7)2, -CON(H)R23CON(R7)2, -R23N(H)R7, -R23N(R7)2; each R6 = H, halo, Cl, F, -CF3, -NO2, -R5, -SR50, -OR50, -CN, N(R50)2, -C(O)R50, -R23C(O)R50, -CON(R5)2, C4-C6 cycloalkyl, C3-7 cycloalkanone, C4-6 cycloalkylamine, C3-6 monocyclic or C5-13 bicyclic heteroaryl contg. .gtoreq.1 N, O, or S or a C6-C12 monocyclic or bicyclic heterocycle contg. .gtoreq.1 N, O, or S; R7 is H, halo or C1-6 alkyl; R23 is a bond or C1-C6 alkyl; with provisos. Although the methods of prepn. are not claimed, many example prepn. are included. For example, II was prepd. in 4 steps (65, 87, 92, 55 % yields, resp.) starting with coupling of 2-iodo-4-nitroaniline with 3,3-dimethyl-1-butyne to give 2-(3',3'-Dimethylbut-1-ynyl)-4-nitroaniline, which was cyclized to 2-tert-butyl-5-nitroindole, which was reduced to 5-amino-2-tert-butylindole, which was coupled with naphth-1-ylacetyl chloride. The activity of many I are tabulated.

IT 682357-50-2P, 2-tert-Butyl-5-[(naphth-1-ylethanoyl)amino]indole
 682357-51-3P, 2-tert-Butyl-5-[(3-nitrophenylethanoyl)amino]indole
 682357-52-4P, 2-tert-Butyl-5-[(cyclopentylcarbonyl)amino]indole
 682357-53-5P, 2-tert-Butyl-5-[[[1-(4-chlorophenyl)cyclopentyl]carbonyl]amino]indole 682357-54-6P, 2-tert-Butyl-5-[(2',6'-difluorophenylcarbonyl)amino]indole 682357-55-7P,
 2-tert-Butyl-5-[(2',4'-dichlorophenylcarbonyl)amino]indole
 682357-56-8P, 2-tert-Butyl-5-[[[3-methylthien-2-yl]carbonyl]amino]indole 682357-57-9P, 2-tert-Butyl-5-(2,2-dichloroacetamido)indole 682357-58-0P, 2-tert-Butyl-5-[[3-(piperidin-1-yl)propanoyl]amino]indole 682357-59-1P,
 2-tert-Butyl-5-[[[1-acetylpiperidin-4-yl]carbonyl]amino]indole
 682357-60-4P, 2-tert-Butyl-5-[[3-(indol-3-yl)propanoyl]amino]indole 682357-61-5P, 2-tert-Butyl-5-[[[(benzodioxol-5-yl)acetyl]amino]indole 682357-62-6P,
 2-tert-Butyl-5-[[[pyridin-3-yl]acetyl]amino]indole 682357-63-7P,
 2-tert-Butyl-5-[[3-(acetylamino)propanoyl]amino]indole
 682357-64-8P, 2-tert-Butyl-5-[[3,3-diphenylpropanoyl]amino]indole
 682357-65-9P, 2-tert-Butyl-5-[[[4-fluorophenyl]acetyl]amino]indole
 682357-66-0P, 2-tert-Butyl-5-[[[1,1'-biphenyl-4-yl]acetyl]amino]indole 682357-67-1P, 2-tert-Butyl-5-[[4-(dimethylamino)butanoyl]amino]indole 682357-68-2P,
 2-tert-Butyl-5-[[[4-methoxythien-3-yl]carbonyl]amino]indole
 682357-69-3P, 2-tert-Butyl-5-(butanoylamino)indole
 682357-70-6P, 2-tert-Butyl-5-[(benzoyl)amino]indole
 682357-71-7P, 2-tert-Butyl-5-[(3-fluorobenzoyl)amino]indole
 682357-72-8P, 2-tert-Butyl-5-[(3-chlorobenzoyl)amino]indole
 682357-73-9P, 2-tert-Butyl-5-[[[benzo[b]thiophen-2-yl]carbonyl]amino]indole 682357-74-0P, 2-tert-Butyl-5-[[[3-chlorothien-2-yl]carbonyl]amino]indole 682357-75-1P,
 2-tert-Butyl-5-[[[furan-2-yl]carbonyl]amino]indole
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; prepn. of substituted indoles and their use as HCV inhibitors)

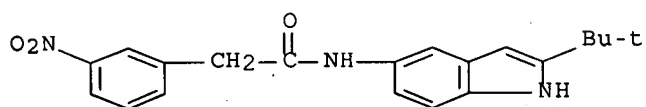
RN 682357-50-2 CAPLUS

CN 1-Naphthaleneacetamide, N-[2-(1,1-dimethylethyl)-1H-indol-5-yl]- (CA INDEX NAME)



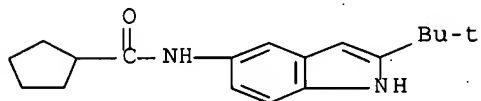
RN 682357-51-3 CAPLUS

CN Benzeneacetamide, N-[2-(1,1-dimethylethyl)-1H-indol-5-yl]-3-nitro- (CA INDEX NAME)



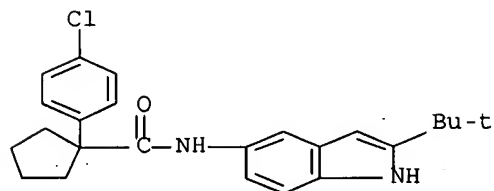
RN 682357-52-4 CAPLUS

CN Cyclopentanecarboxamide, N-[2-(1,1-dimethylethyl)-1H-indol-5-yl]- (CA INDEX NAME)



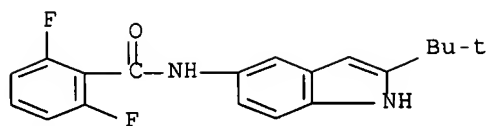
RN 682357-53-5 CAPLUS

CN Cyclopentanecarboxamide, 1-(4-chlorophenyl)-N-[2-(1,1-dimethylethyl)-1H-indol-5-yl]- (CA INDEX NAME)



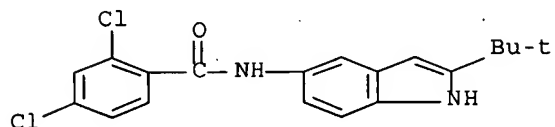
RN 682357-54-6 CAPLUS

CN Benzamide, N-[2-(1,1-dimethylethyl)-1H-indol-5-yl]-2,6-difluoro- (CA INDEX NAME)



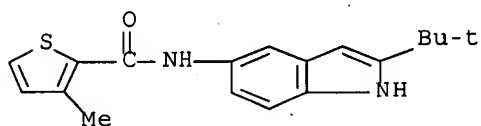
RN 682357-55-7 CAPLUS

CN Benzamide, 2,4-dichloro-N-[2-(1,1-dimethylethyl)-1H-indol-5-yl]- (CA INDEX NAME)



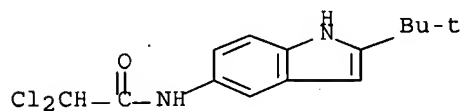
RN 682357-56-8 CAPLUS

CN 2-Thiophenecarboxamide, N-[2-(1,1-dimethylethyl)-1H-indol-5-yl]-3-methyl- (CA INDEX NAME)



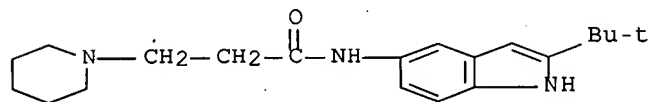
RN 682357-57-9 CAPLUS

CN Acetamide, 2,2-dichloro-N-[2-(1,1-dimethylethyl)-1H-indol-5-yl]- (CA INDEX NAME)



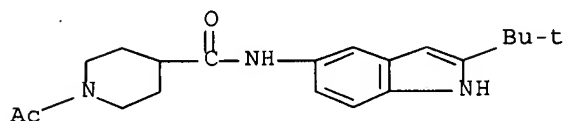
RN 682357-58-0 CAPLUS

CN 1-Piperidinepropanamide, N-[2-(1,1-dimethylethyl)-1H-indol-5-yl]- (CA INDEX NAME)



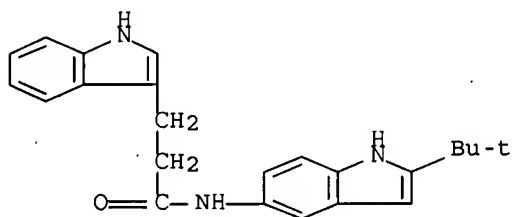
RN 682357-59-1 CAPLUS

CN 4-Piperidinecarboxamide, 1-acetyl-N-[2-(1,1-dimethylethyl)-1H-indol-5-yl]-
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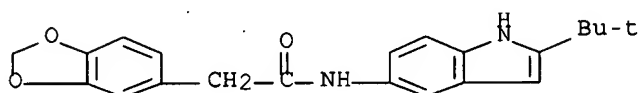
RN 682357-60-4 CAPLUS

CN 1H-Indole-3-propanamide; N-[2-(1,1-dimethylethyl)-1H-indol-5-yl]- (CA
INDEX NAME)



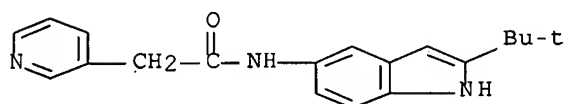
RN 682357-61-5 CAPLUS

CN 1,3-Benzodioxole-5-acetamide, N-[2-(1,1-dimethylethyl)-1H-indol-5-yl]-
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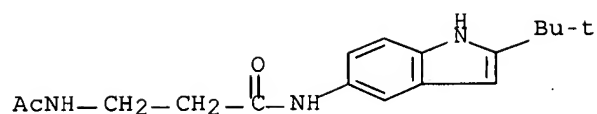
RN 682357-62-6 CAPLUS

CN 3-Pyridineacetamide, N-[2-(1,1-dimethylethyl)-1H-indol-5-yl]- (CA INDEX
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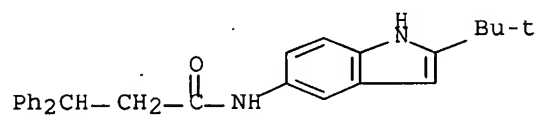
RN 682357-63-7 CAPLUS

CN Propanamide, 3-(acetylamino)-N-[2-(1,1-dimethylethyl)-1H-indol-5-yl]- (CA
INDEX NAME)



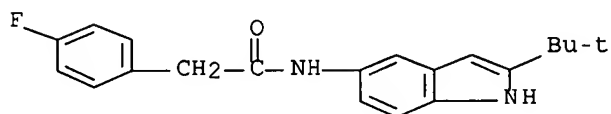
RN 682357-64-8 CAPLUS

CN Benzenepropanamide, N-[2-(1,1-dimethylethyl)-1H-indol-5-yl]-.beta.-phenyl-
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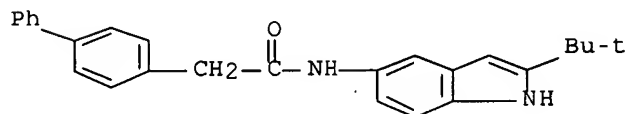
RN 682357-65-9 CAPLUS

CN Benzeneacetamide, N-[2-(1,1-dimethylethyl)-1H-indol-5-yl]-4-fluoro- (CA
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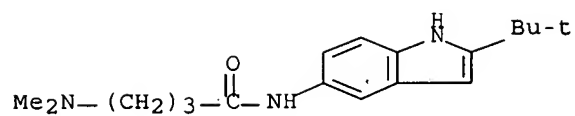
RN 682357-66-0 CAPLUS

CN [1,1'-Biphenyl]-4-acetamide, N-[2-(1,1-dimethylethyl)-1H-indol-5-yl]- (CA
INDEX NAME)



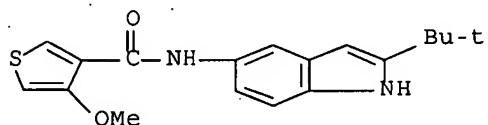
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CN Butanamide, 4-(dimethylamino)-N-[2-(1,1-dimethylethyl)-1H-indol-5-yl]-
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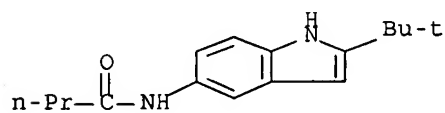
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(CA INDEX NAME)



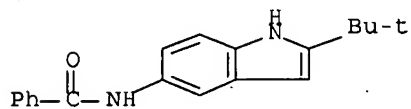
RN 682357-69-3 CAPLUS

CN Butanamide, N-[2-(1,1-dimethylethyl)-1H-indol-5-yl]- (CA INDEX NAME)



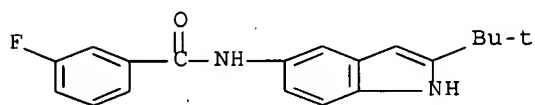
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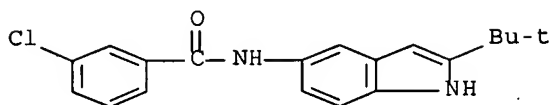


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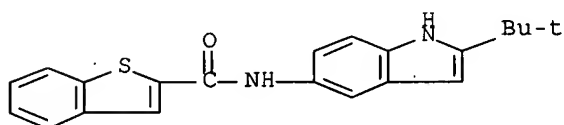
CN Benzamide, N-[2-(1,1-dimethylethyl)-1H-indol-5-yl]-3-fluoro- (CA INDEX NAME)



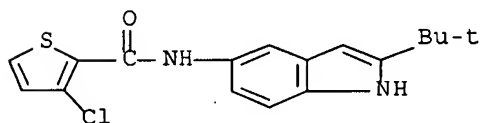
RN 682357-72-8 CAPLUS
 CN Benzamide, 3-chloro-N-[2-(1,1-dimethylethyl)-1H-indol-5-yl]- (CA INDEX NAME)



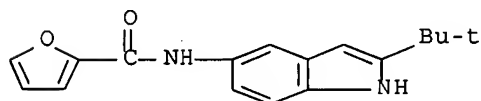
RN 682357-73-9 CAPLUS
 CN Benzo[b]thiophene-2-carboxamide, N-[2-(1,1-dimethylethyl)-1H-indol-5-yl]- (CA INDEX NAME)



RN 682357-74-0 CAPLUS
 CN 2-Thiophenecarboxamide, 3-chloro-N-[2-(1,1-dimethylethyl)-1H-indol-5-yl]- (CA INDEX NAME)



RN 682357-75-1 CAPLUS
 CN 2-Furancarboxamide, N-[2-(1,1-dimethylethyl)-1H-indol-5-yl]- (CA INDEX NAME)



IT 397242-27-2, 2-(tert-Butyl)-5-[(cyclopropylcarbonyl)amino]indole
 397872-88-7, 2-(tert-Butyl)-5-[[4-(trifluoromethyl)benzoyl]amino]indole
 397872-89-8, 2-(tert-Butyl)-5-[[2,6-dichloropyridin-4-yl]carbonyl]amino]indole
 397872-90-1, 2-(tert-Butyl)-5-[(3,4,5-trimethoxybenzoyl)amino]indole
 397872-93-4, 2-(tert-Butyl)-5-[[2-(thien-2-yl)carbonyl]amino]indole
 682358-96-9, 5-[(2,2-Dimethylpropanoyl)amino]-2-(1,1-dimethylpropyl)indole

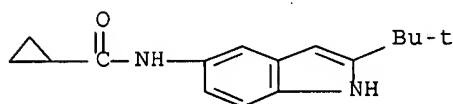
682358-97-0, 2-(1,1-Dimethylpropyl)-5-[(3-phenyl-2-propenoyl)amino]indole 682358-98-1, 5-[[4-(tert-Butyl)benzoyl]amino]-2-(1,1-dimethylpropyl)indole 682358-99-2, 5-[(2,6-Difluorobenzoyl)amino]-2-(1,1-dimethylpropyl)indole 682359-00-8, 2-(1,1-Dimethylpropyl)-5-[[3-(trifluoromethyl)benzoyl]amino]indole 682359-01-9, 2-(1,1-Dimethylpropyl)-5-[(diphenylacetyl)amino]indole 682359-02-0, 2-(1,1-Dimethylpropyl)-5-[[[(thien-2-yl)carbonyl]amino]indole 682359-03-1, 5-[[[(2,6-Dichloropyridin-4-yl)carbonyl]amino]-2-(1,1-dimethylpropyl)indole

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(drug candidate; prepn. of substituted indoles and their use as HCV inhibitors)

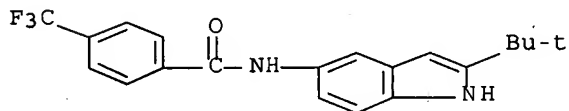
RN 397242-27-2 CAPLUS

CN Cyclopropanecarboxamide, N-[2-(1,1-dimethylethyl)-1H-indol-5-yl]- (9CI)
(CA INDEX NAME)



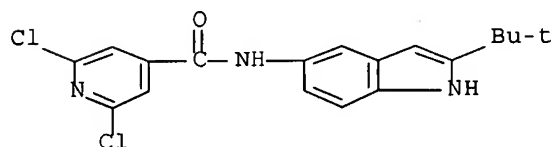
RN 397872-88-7 CAPLUS

CN Benzamide, N-[2-(1,1-dimethylethyl)-1H-indol-5-yl]-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)



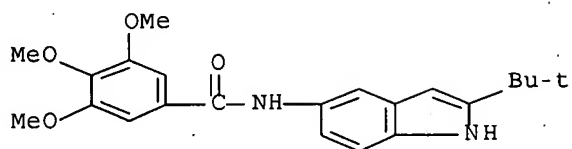
RN 397872-89-8 CAPLUS

CN 4-Pyridinecarboxamide, 2,6-dichloro-N-[2-(1,1-dimethylethyl)-1H-indol-5-yl]- (9CI) (CA INDEX NAME)



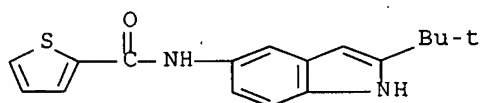
RN 397872-90-1 CAPLUS

CN Benzamide, N-[2-(1,1-dimethylethyl)-1H-indol-5-yl]-3,4,5-trimethoxy- (9CI)
(CA INDEX NAME)



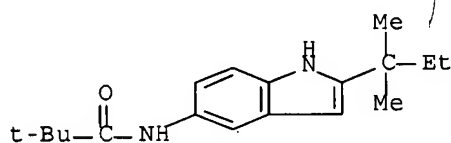
RN 397872-93-4 CAPLUS

CN 2-Thiophenecarboxamide, N-[2-(1,1-dimethylethyl)-1H-indol-5-yl]- (9CI)
(CA INDEX NAME)



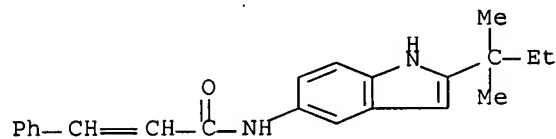
RN 682358-96-9 CAPLUS

CN Propanamide, N-[2-(1,1-dimethylpropyl)-1H-indol-5-yl]-2,2-dimethyl- (CA
INDEX NAME)



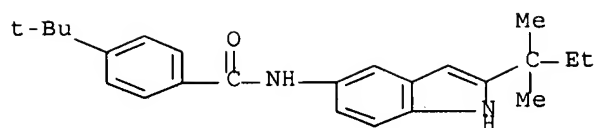
RN 682358-97-0 CAPLUS

CN 2-Propanamide, N-[2-(1,1-dimethylpropyl)-1H-indol-5-yl]-3-phenyl- (CA
INDEX NAME)



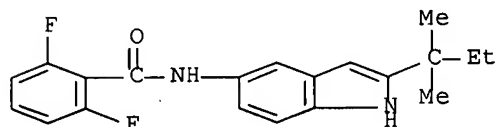
RN 682358-98-1 CAPLUS

CN Benzamide, 4-(1,1-dimethylethyl)-N-[2-(1,1-dimethylpropyl)-1H-indol-5-yl]-
(CA INDEX NAME)



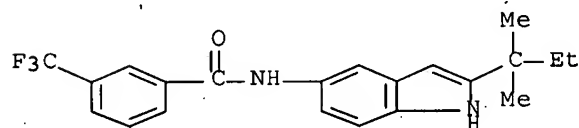
RN 682358-99-2 CAPLUS

CN Benzamide, N-[2-(1,1-dimethylpropyl)-1H-indol-5-yl]-2,6-difluoro- (CA INDEX NAME)



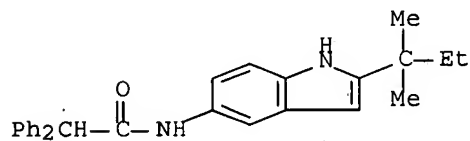
RN 682359-00-8 CAPLUS

CN Benzamide, N-[2-(1,1-dimethylpropyl)-1H-indol-5-yl]-3-(trifluoromethyl)- (CA INDEX NAME)



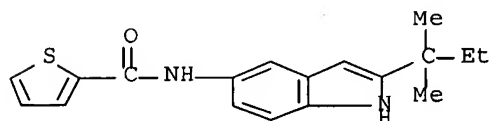
RN 682359-01-9 CAPLUS

CN Benzeneacetamide, N-[2-(1,1-dimethylpropyl)-1H-indol-5-yl]-.alpha.-phenyl- (CA INDEX NAME)



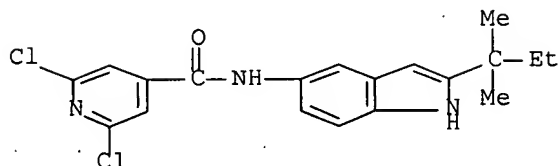
RN 682359-02-0 CAPLUS

CN 2-Thiophenecarboxamide, N-[2-(1,1-dimethylpropyl)-1H-indol-5-yl]- (CA INDEX NAME)



RN 682359-03-1 CAPLUS

CN 4-Pyridinecarboxamide, 2,6-dichloro-N-[2-(1,1-dimethylpropyl)-1H-indol-5-yl]- (CA INDEX NAME)



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1975:170746 CAPLUS Full-text

DOCUMENT NUMBER: 82:170746

TITLE: Improved synthesis of 6H-pyrido[4,3-b]carbazole derivatives

AUTHOR(S): Sainsbury, Malcolm; Webb, Brian; Schinazi, Raymond

CORPORATE SOURCE: Sch. Chem., Univ. Bath, Bath, UK

SOURCE: Journal of the Chemical Society, Perkin Transactions
1: Organic and Bio-Organic Chemistry (1972-1999)
(1975), (3), 289-98

CODEN: JCPRB4; ISSN: 0300-922X

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 82:170746

GI For diagram(s), see printed CA Issue.

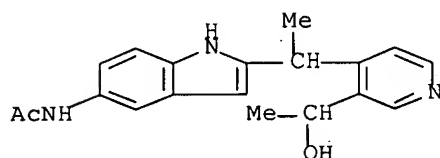
AB Pyridine deriv. I with 1,3-diacetyloxyl and its 5-acetamido deriv. gave indolines II and III, resp. Redn. of II and III followed by dehydration gave indoles IV and V, resp. which with Me₂SO and Ac₂O gave ellipticine and its 9-acetamido deriv. (VII), resp. 9-Phenylellipticine (VIII) was prepd. in 3 steps from 1,3-diacetyl-5-phenyloxyl and 4-acetyl-3-(1-methoxyethyl)pyridine.

IT 55676-16-9P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 55676-16-9 CAPLUS

CN Acetamide, N-[2-[1-[3-(1-hydroxyethyl)-4-pyridinyl]ethyl]-1H-indol-5-yl]- (9CI) (CA INDEX NAME)



L15 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1974:552046 CAPLUS Full-text

DOCUMENT NUMBER: 81:152046

TITLE: Synthesis of 9-aminoellipticine (9-amino-5,11-dimethyl-6H-pyrido[4,3-b]carbazole) and related compounds

AUTHOR(S): Sainsbury, Malcolm; Webb, Brian

CORPORATE SOURCE: Sch. Chem. Chem. Eng., Univ. Bath, Bath, UK

SOURCE: Journal of the Chemical Society, Perkin Transactions

1: Organic and Bio-Organic Chemistry (1972-1999)
(1974), (13), 1580-4

CODEN: JCPRB4; ISSN: 0300-922X

DOCUMENT TYPE: Journal

LANGUAGE: English

GI For diagram(s), see printed CA Issue.

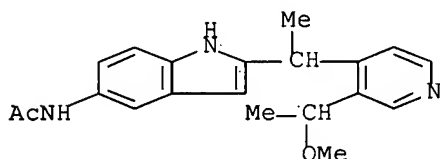
AB The title compd. (I) was prepd. from 5-acetamido-1-acetylintol-3-yl acetate and the ketone II via the acetamidoindole III. III with HBr was cyclized, hydrogenated, and hydrolyzed in 1 step to I. The redn. mechanism is discussed.

IT 54368-90-0P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 54368-90-0 CAPLUS

CN Acetamide, N-[2-[1-[3-(1-methoxyethyl)-4-pyridinyl]ethyl]-1H-indol-5-yl]-
(9CI) (CA INDEX NAME)



=> s l11

L16 74 L11

=> s l16 and pharmaceutical

251143 PHARMACEUTICAL

90414 PHARMACEUTICALS

305553 PHARMACEUTICAL

(PHARMACEUTICAL OR PHARMACEUTICALS)

L17 11 L16 AND PHARMACEUTICAL

=> d ibib abs hitstr tot

L17 ANSWER 1 OF 11 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2007:817587 CAPLUS Full-text

DOCUMENT NUMBER: 147:211880

TITLE: Preparation of imidazopyridine derivatives as protein kinase inhibitors for the treatment of diabetes, obesity, dementia, cancer, and inflammation

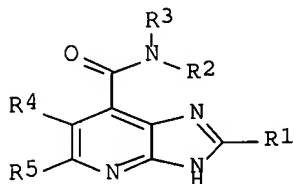
INVENTOR(S): Lee, Seung Chul; Choi, Jin Seok; Oh, Jung Hoon; Park, Boonsaeng; Kim, Yong Eun; Lee, Jun Hee; Shin, Dongkyu; Kim, Cheol Min; Hyun, Young-Lan; Lee, Cheol Soon; Cho, Joong-Myung; Ro, Seonggu

PATENT ASSIGNEE(S): Crystalgenomics, Inc., S. Korea
 SOURCE: PCT Int. Appl., 157pp.
 CODEN: PIXXD2
 DOCUMENT/TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

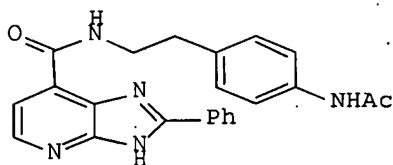
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007083978	A1	20070726	WO 2007-KR393	20070123
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				

PRIORITY APPLN. INFO.: KR 2006-6834 A 20060123
 US 2006-846411P P 20060921

OTHER SOURCE(S): MARPAT 147:211880
 GI



I



II

AB Title compds. I [wherein R1 = OH, halo, alkyl, etc.; R2 = H, (un)substituted alkyl, aryl, etc.; R3 - R5 = H, (un)substituted alkyl, cycloalkyl, etc.; R2 and R3 may link together to form a ring] and pharmaceutically acceptable salts, hydrates, solvates or isomers thereof were prepd. as protein kinase inhibitors. For instance, successive nitro redn. of 4-methyl-3-nitropyridin-2-amine, cyclocondensation of the resultant diamine with benzoic acid to imidazopyridine, oxidn. of the Me group with KMnO4 and esterification in methanol, hydrolysis of the obtained Me ester, and EDC-mediated coupling with 4-acetylphenethylamine led to amide II. This product showed inhibition of glycogen synthase kinase-3 (GSK-3) with an IC50 of 0.02 .mu.M. Inhibitory activity of representative I against other protein kinases, including aurora kinase A, extracellular signal-regulated kinase 1 (ERK-1), cyclin-dependent kinase 2 (CDK-2), c-Jun N-terminal kinase 1 (JNK-1), pyruvate dehydrogenase kinase 1 (PDK-1), kinase insert domain protein receptor (KDR) and p38 mitogen-activated protein kinase (MAPK), was also demonstrated. Therefore, the invented compds. and pharmaceutical compns. are useful for preventing or

treating diseases such as diabetes, obesity, dementia, cancer, and inflammation.

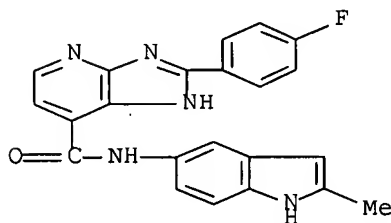
IT 944744-04-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; prepn. of imidazopyridine derivs. as protein kinase inhibitors for treatment of diabetes, obesity, dementia, cancer, and inflammation)

RN 944744-04-1 CAPLUS

CN 3H-Imidazo[4,5-b]pyridine-7-carboxamide, 2-(4-fluorophenyl)-N-(2-methyl-1H-indol-5-yl)- (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L17 ANSWER 2 OF 11 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2006:1342377 CAPLUS Full-text

DOCUMENT NUMBER: 146:81616

TITLE: Preparation of substituted adamantane compounds as sphingosine kinase inhibitors

INVENTOR(S): Smith, Charles D.; French, Kevin J.; Zhuang, Yan

PATENT ASSIGNEE(S): Apogee Biotechnology Corporation, USA

SOURCE: U.S. Pat. Appl. Publ., 77pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

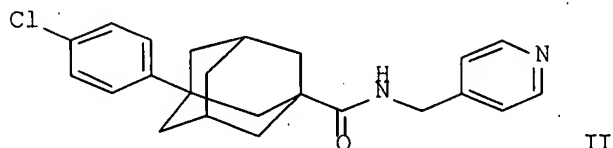
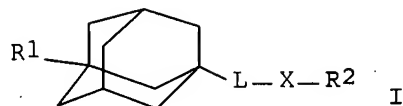
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2006287317	A1	20061221	US 2006-424423	20060615
WO 2006138660	A2	20061228	WO 2006-US23645	20060615
WO 2006138660	A3	20070719		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA



AB The title compds. I [L = a bond, CR3R4; X = O, S, C(O), etc.; R1 = H, alkyl, cycloalkyl, aryl, etc.; R2 = H, alkyl, aryl, heteroaryl, etc.; R3 = H, alkyl, cycloalkyl, etc.; R4 = H or alkyl, provided that when R3 is oxo, then R4 is absent], useful for inhibiting sphingosine kinase and for treating or preventing hyperproliferative disease, inflammatory disease, or angiogenic disease, were prepd. E.g., a multi-step synthesis of II, starting from adamantane-1-carboxylic acid, was given. compds. I were tested for SK inhibition and for their antitumor activity (data were given for exemplified compds. I). Pharmaceutical compns. comprising the compds. I are disclosed.

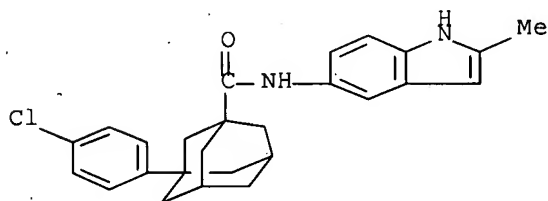
IT 917236-31-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of substituted adamantane compds. as sphingosine kinase inhibitors useful in treatment and prevention of diseases)

RN 917236-31-8 CAPLUS

CN Tricyclo[3.3.1.1.3,7]decane-1-carboxamide, 3-(4-chlorophenyl)-N-(2-methyl-1H-indol-5-yl)- (CA INDEX NAME)



L17 ANSWER 3 OF 11 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2006:465536 CAPLUS Full-text

DOCUMENT NUMBER: 144:488668

TITLE: Pyridine- and pyrimidinecarboxylic acid derivatives and related compounds as IL-12 modulators and their

preparation, pharmaceutical compositions,
and use for treatment of various autoimmune diseases

INVENTOR(S): Sun, Lijun; Kostik, Elena; Przewloka, Teresa; Ng,
Howard P.; Chimmanamada, Dinesh; Demko, Zachary

PATENT ASSIGNEE(S): Synta Pharmaceuticals Corp., USA

SOURCE: PCT Int. Appl., 246 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006053227	A2	20060518	WO 2005-US40952	20051110
WO 2006053227	A3	20060706		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
AU 2005304393	A1	20060518	AU 2005-304393	20051110
CA 2586870	A1	20060518	CA 2005-2586870	20051110
US 2006223996	A1	20061005	US 2005-272509	20051110
EP 1819341	A2	20070822	EP 2005-820870	20051110
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU				

PRIORITY APPLN. INFO.: US 2004-626761P P 20041110
WO 2005-US40952 W 20051110

OTHER SOURCE(S): MARPAT 144:488668
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention relates to heterocyclic compds. of formula I, compns. including the compds. and methods of using and methods of making thereof. The compds. (and compns.) are useful, inter alia, in modulating IL-12 prodn. and processes mediated by IL-12. Compds. of formula I wherein X and R1, taken together, are CONR'R''; X is (un)substituted (thio)carbonylamino, (un)substituted amino(thio)carbonyl, C(=NH)NH and derivs., NHC(NH) and derivs., (un)substituted amino(thio)carbonylamino, NHC(=NH)NH and derivs., etc.; R1 is R6-L-R7; R6 is (un)substituted (hetero)cycloalkyl, (un)substituted cyclyl, (un)substituted (hetero)aryl(alkyl), or absent; L is O, S, SO, SO2, NH and derivs., NHCO and derivs., CONH and derivs., COO or OCO or absent; R7 is H, (un)substituted alkyl, (un)substituted cyclyl, (un)substituted (hetero)cycloalkyl, (un)substituted (hetero)aryl(alkyl) etc; Q, U, and V are independently N or CRg, wherein at least one of Q, U or V is N; R3 is Rg, CHO and derivs., (thio)formyl, (oxy)acyl, sulfanyl(thio)acyl, amino(thio)acyl, C(=NH)H and derivs., etc.; Rg, R2 and R4 are independently H, (un)substituted

alkyl(carbonyl), OH and derivs., SH and derivs., NH₂ and derivs., hydroxyalkyl, (thio)formyl, (oxy)(thio)acyl, sulfanyl(thio)acyl, etc.; R' and R'' are independently H, (un)substituted alkyl, (un)substituted alkenyl, (un)substituted alkynyl, (un)substituted (hetero)cycllyl, (un)substituted (hetero)cycloalkyl, (un)substituted (hetero)aryl(alkyl), etc; G is hydrazide, hydrazone, hydrazine, hydroxylamine, oxime, amide, ester, carbonate, carbamate, etc; W is O, S, SO, SO₂, NH and derivs., aminoacyl; m is 0-4; and their pharmaceutically acceptable salts, solvates, clathrates, hydrates, or polymorphs are claimed. Example compd. II was prepd. by substitution of Me 2,4-dichloropyrimidine-6-carboxylate with N-(2-hydroxyethyl)morpholine to give Me 2-chloro-6-[2-(morpholin-4-yl)ethoxy]pyrimidine-6-carboxylate, which reacted with morpholine to give Me 2-morpholino-6-[2-(morpholin-4-yl)ethoxy]pyrimidine-6-carboxylate, which underwent amidation with 5-amino-2,3-dimethylindole to give example compd. II. All the invention compds. were evaluated for their IL-12 inhibitory activity. From the assay, noumerous of the invention compds. exhibited in vitro IC₅₀ values < 1.mu.M against human PBMC or THP-1 cells.

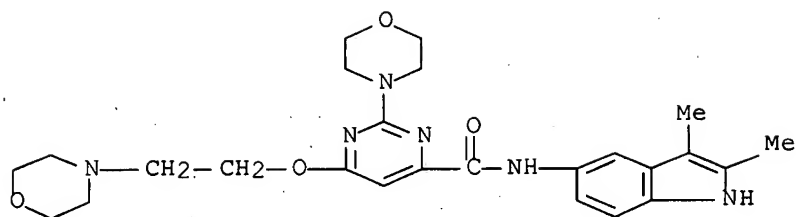
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RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(drug candidate; prepn. of pyridine- and pyrimidinecarboxylic acid derivs. and related compds. as IL-12 modulators useful in treatment of diseases)

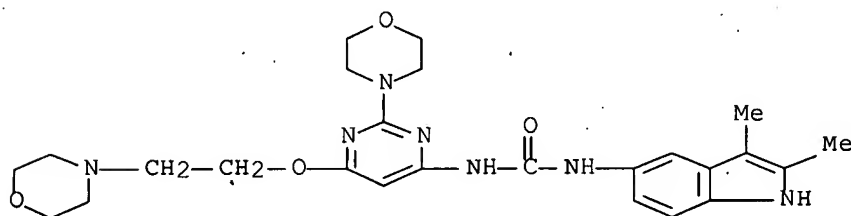
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CN 4-Pyrimidinecarboxamide, N-(2,3-dimethyl-1H-indol-5-yl)-2-(4-morpholinyl)-6-[2-(4-morpholinyl)ethoxy]- (CA INDEX NAME)



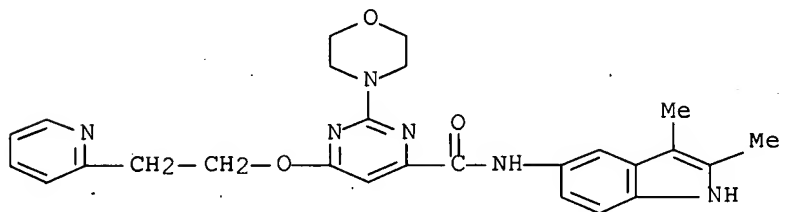
RN 887133-33-7 CAPLUS

CN Urea, N-(2,3-dimethyl-1H-indol-5-yl)-N'-[2-(4-morpholinyl)-6-[2-(4-morpholinyl)ethoxy]-4-pyrimidinyl]- (CA INDEX NAME)



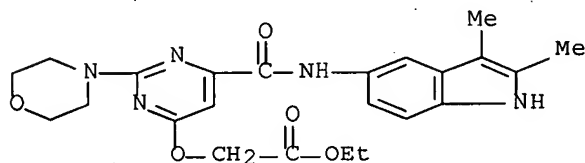
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CN 4-Pyrimidinecarboxamide, N-(2,3-dimethyl-1H-indol-5-yl)-2-(4-morpholinyl)-6-[2-(2-pyridinyl)ethoxy]- (CA INDEX NAME).



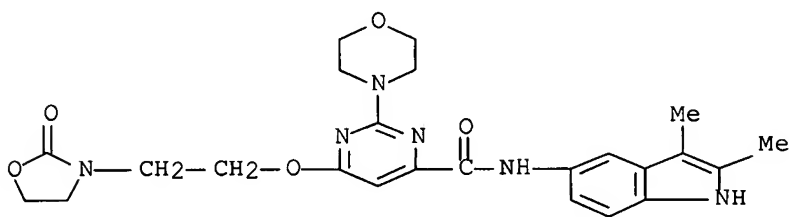
RN 887133-35-9 CAPLUS

CN Acetic acid, [[6-[[[(2,3-dimethyl-1H-indol-5-yl)amino]carbonyl]-2-(4-morpholinyl)-4-pyrimidinyl]oxy]-, ethyl ester (9CI) (CA INDEX NAME)



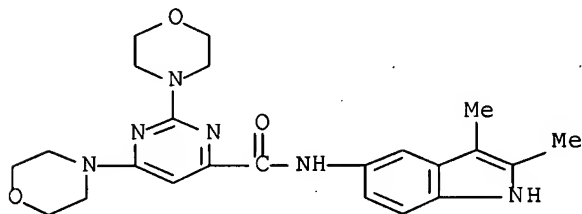
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CN 4-Pyrimidinecarboxamide, N-(2,3-dimethyl-1H-indol-5-yl)-2-(4-morpholinyl)-6-[2-(2-oxo-3-oxazolidinyl)ethoxy]- (CA INDEX NAME)



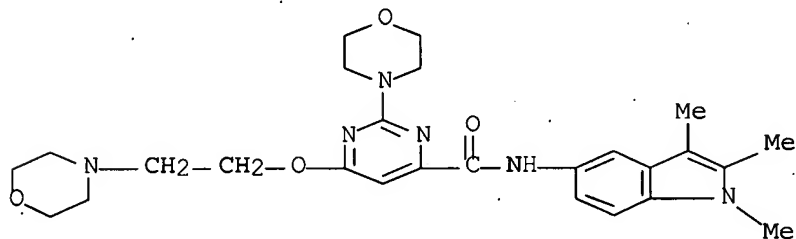
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CN 4-Pyrimidinecarboxamide, N-(2,3-dimethyl-1H-indol-5-yl)-2,6-di-4-morpholinyl- (CA INDEX NAME)



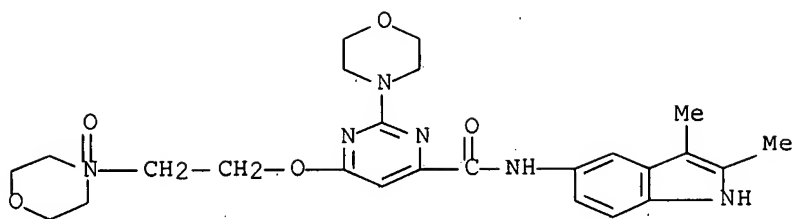
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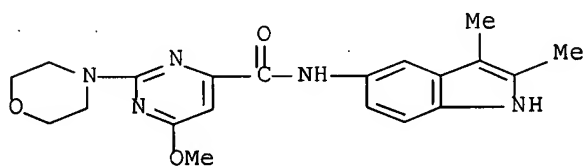
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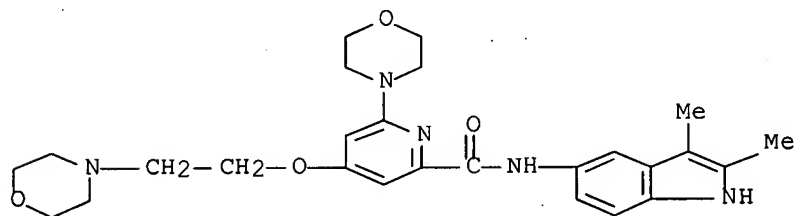
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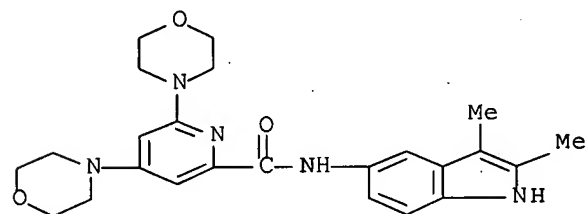
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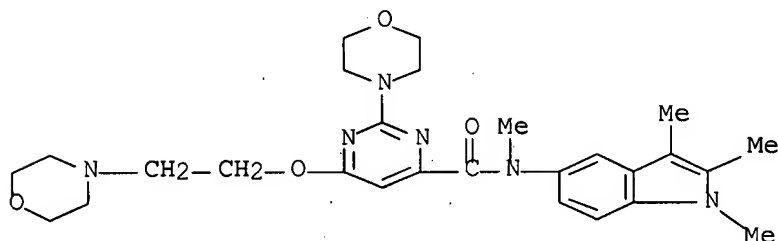
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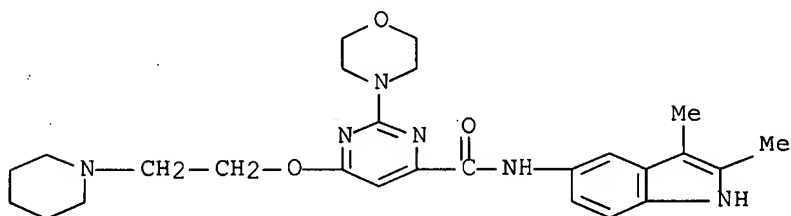
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CN 4-Pyrimidinecarboxamide, N-methyl-2-(4-morpholinyl)-6-[2-(4-morpholinyl)ethoxy]-N-(1,2,3-trimethyl-1H-indol-5-yl)- (CA INDEX NAME)



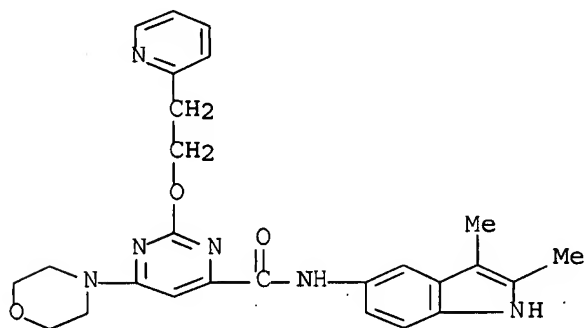
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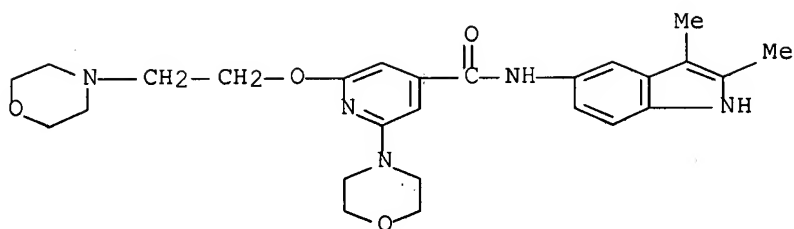
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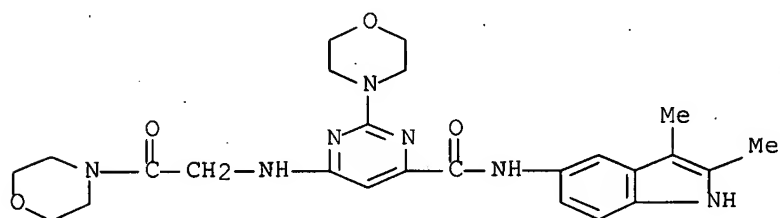
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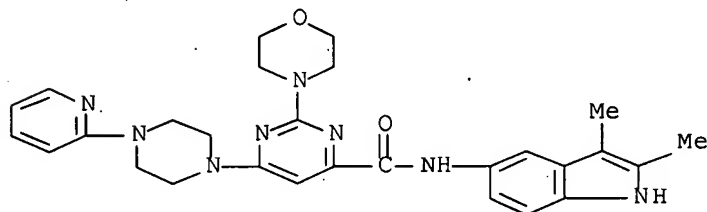
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CN 4-Pyrimidinecarboxamide, N-(2,3-dimethyl-1H-indol-5-yl)-2-(4-morpholinyl)-6-[[2-(4-morpholinyl)-2-oxoethyl]amino]- (CA INDEX NAME)



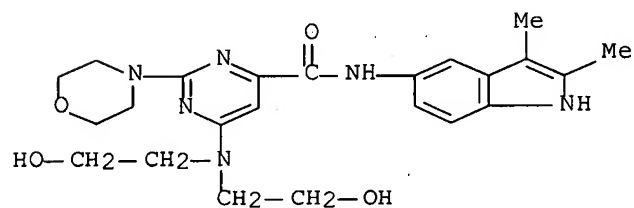
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CN 4-Pyrimidinecarboxamide, N-(2,3-dimethyl-1H-indol-5-yl)-2-(4-morpholinyl)-6-[4-(2-pyridinyl)-1-piperazinyl]- (CA INDEX NAME)



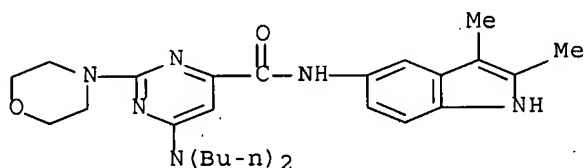
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CN 4-Pyrimidinecarboxamide, 6-[bis(2-hydroxyethyl)amino]-N-(2,3-dimethyl-1H-indol-5-yl)-2-(4-morpholinyl)- (CA INDEX NAME)



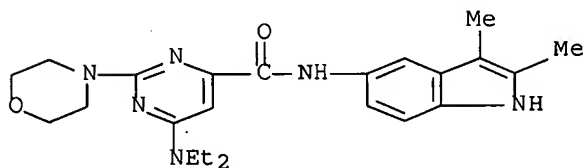
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CN 4-Pyrimidinecarboxamide, 6-(dibutylamino)-N-(2,3-dimethyl-1H-indol-5-yl)-2-(4-morpholinyl)- (CA INDEX NAME)



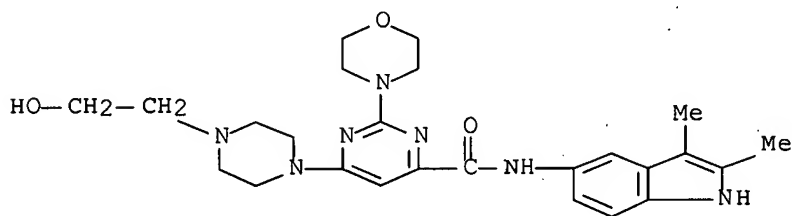
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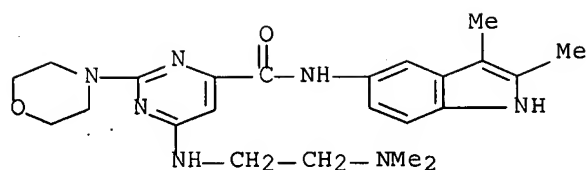
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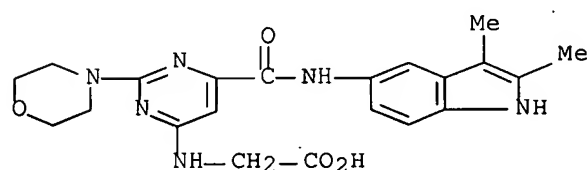
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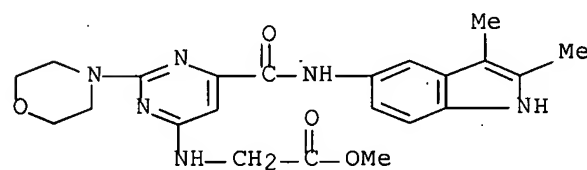
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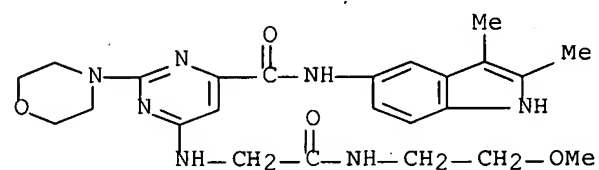
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CN Glycine, N-[6-[[[(2,3-dimethyl-1H-indol-5-yl)amino]carbonyl]-2-(4-morpholinyl)-4-pyrimidinyl]-, methyl ester (CA INDEX NAME)



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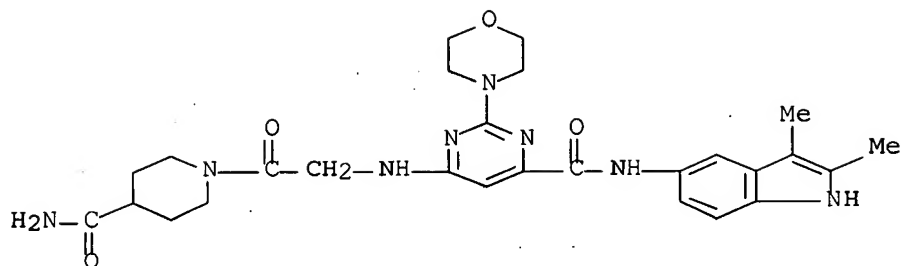
CN 4-Pyrimidinecarboxamide, N-(2,3-dimethyl-1H-indol-5-yl)-6-[[2-[(2-methoxyethyl)amino]-2-oxoethyl]amino]-2-(4-morpholinyl)- (CA INDEX NAME)



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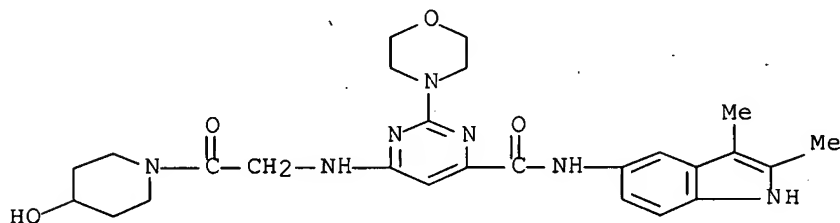
CN 4-Pyrimidinecarboxamide, 6-[2-[4-(aminocarbonyl)-1-piperidinyl]-2-oxoethyl]amino]-N-(2,3-dimethyl-1H-indol-5-yl)-2-(4-morpholinyl)- (CA

INDEX NAME)



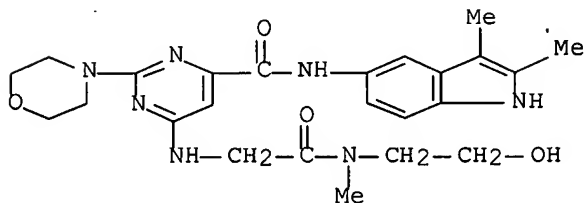
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CN 4-Pyrimidinecarboxamide, N-(2,3-dimethyl-1H-indol-5-yl)-6-[[2-(4-hydroxy-1-piperidinyl)-2-oxoethyl]amino]-2-(4-morpholinyl)- (CA INDEX NAME)



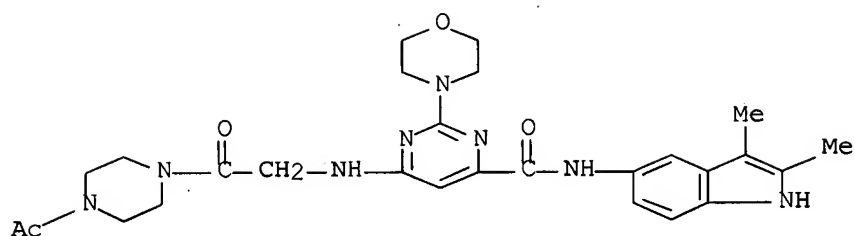
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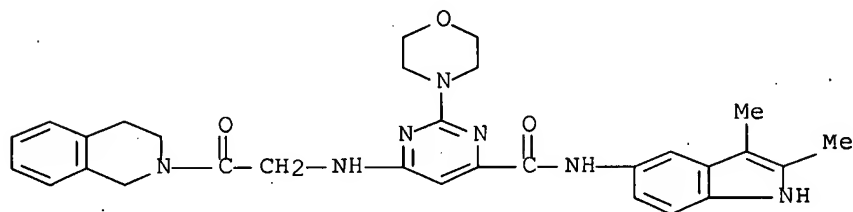
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CN 4-Pyrimidinecarboxamide, 6-[[2-(4-acetyl-1-piperazinyl)-2-oxoethyl]amino]-N-(2,3-dimethyl-1H-indol-5-yl)-2-(4-morpholinyl)- (CA INDEX NAME)



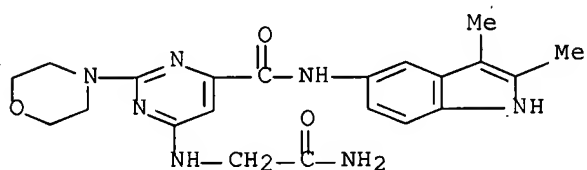
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CN 4-Pyrimidinecarboxamide, 6-[[2-(3,4-dihydro-2(1H)-isoquinolinyl)-2-oxoethyl]amino]-N-(2,3-dimethyl-1H-indol-5-yl)-2-(4-morpholinyl)- (CA INDEX NAME)



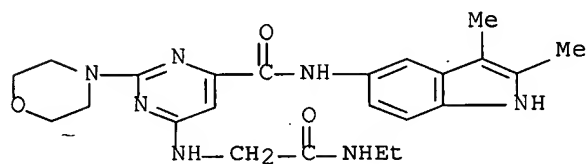
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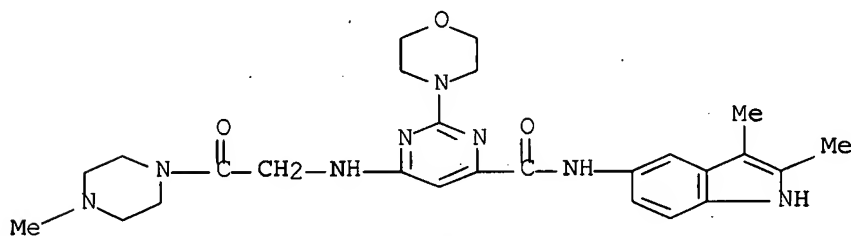
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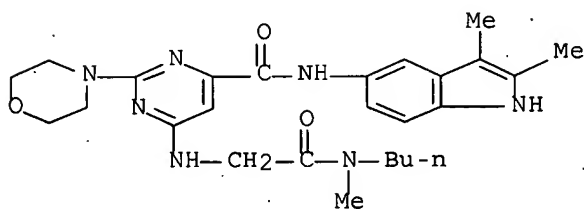
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CN 4-Pyrimidinecarboxamide, N-(2,3-dimethyl-1H-indol-5-yl)-6-[[2-(4-methyl-1-piperazinyl)-2-oxoethyl]amino]-2-(4-morpholinyl)- (CA INDEX NAME)



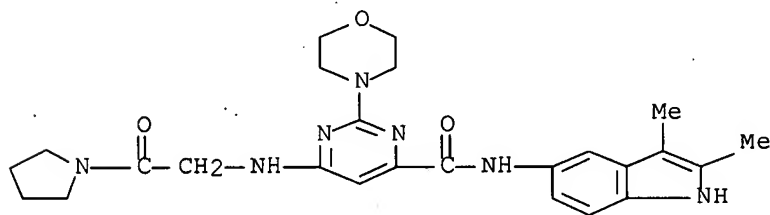
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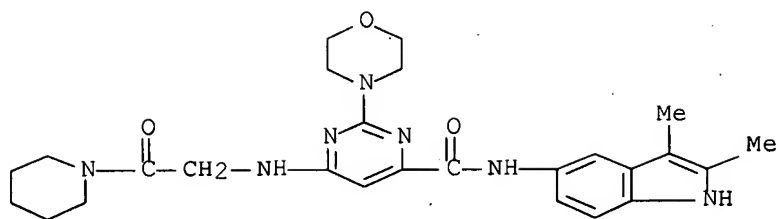
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CN 4-Pyrimidinecarboxamide, N-(2,3-dimethyl-1H-indol-5-yl)-2-(4-morpholinyl)-6-[[2-oxo-2-(1-pyrrolidinyl)ethyl]amino]- (CA INDEX NAME)



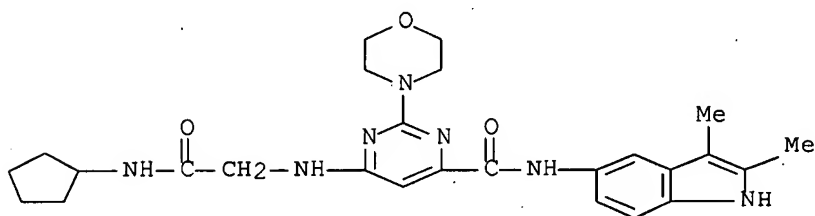
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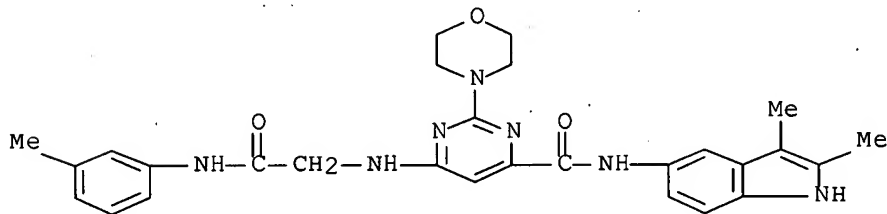
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CN 4-Pyrimidinecarboxamide, 6-[[2-(cyclopentylamino)-2-oxoethyl]amino]-N-(2,3-dimethyl-1H-indol-5-yl)-2-(4-morpholinyl)- (CA INDEX NAME)



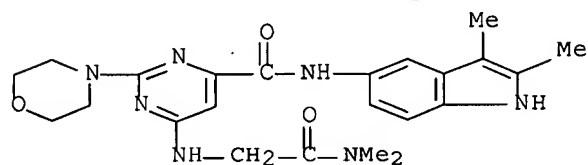
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CN 4-Pyrimidinecarboxamide, N-(2,3-dimethyl-1H-indol-5-yl)-6-[[2-[(3-methylphenyl)amino]-2-oxoethyl]amino]-2-(4-morpholinyl)- (CA INDEX NAME)



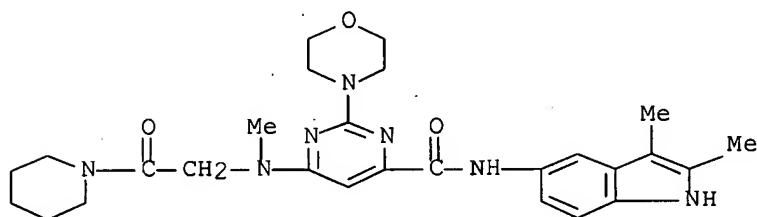
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CN 4-Pyrimidinecarboxamide, 6-[[2-(dimethylamino)-2-oxoethyl]amino]-N-(2,3-dimethyl-1H-indol-5-yl)-2-(4-morpholinyl)- (CA INDEX NAME)



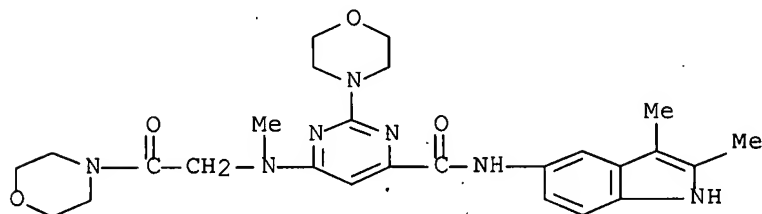
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CN 4-Pyrimidinecarboxamide, N-(2,3-dimethyl-1H-indol-5-yl)-6-[methyl[2-oxo-2-(1-piperidinyl)ethyl]amino]-2-(4-morpholinyl)- (CA INDEX NAME)



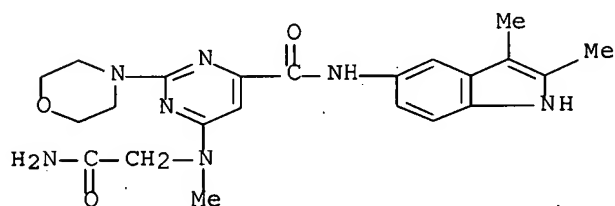
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CN 4-Pyrimidinecarboxamide, N-(2,3-dimethyl-1H-indol-5-yl)-6-[methyl[2-(4-morpholinyl)-2-oxoethyl]amino]-2-(4-morpholinyl)- (CA INDEX NAME)



RN 887134-43-2 CAPLUS

CN 4-Pyrimidinecarboxamide, 6-[(2-amino-2-oxoethyl)methylamino]-N-(2,3-dimethyl-1H-indol-5-yl)-2-(4-morpholinyl)- (CA INDEX NAME)



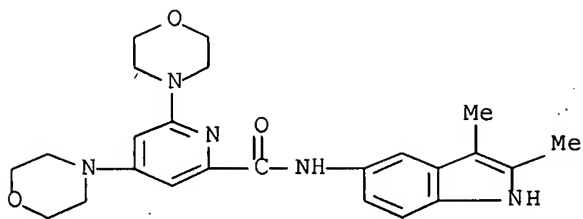
RN 887134-72-7 CAPLUS

CN 2-Pyridinecarboxamide, N-(2,3-dimethyl-1H-indol-5-yl)-4,6-di-4-morpholinyl-, monomethanesulfonate (9CI) (CA INDEX NAME)

CM 1

CRN 887133-47-3

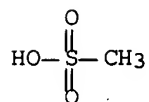
CMF C24 H29 N5 O3



CM 2

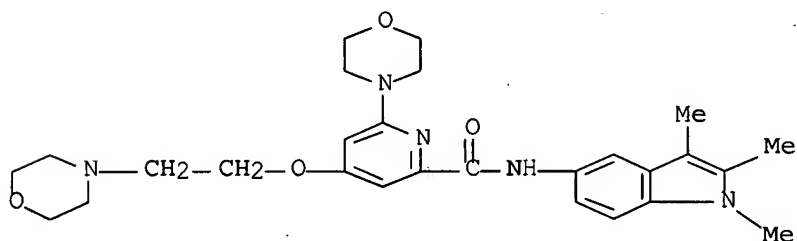
CRN 75-75-2

CMF C H4 O3 S



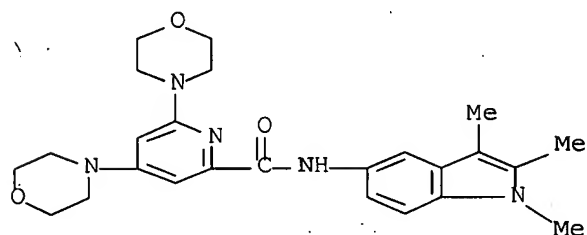
RN 887134-73-8 CAPLUS

CN 2-Pyridinecarboxamide, 6-(4-morpholinyl)-4-[2-(4-morpholinyl)ethoxy]-N-(1,2,3-trimethyl-1H-indol-5-yl)- (CA INDEX NAME)



RN 887134-74-9 CAPLUS

CN 2-Pyridinecarboxamide, 4,6-di-4-morpholinyl-N-(1,2,3-trimethyl-1H-indol-5-yl)- (CA INDEX NAME)



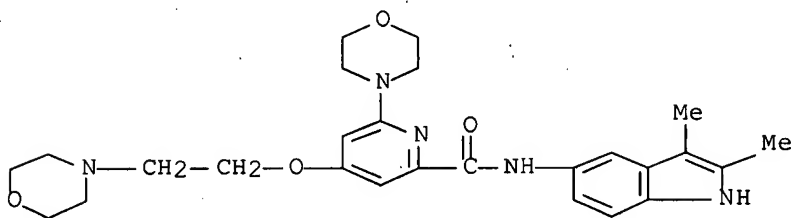
RN 887134-75-0 CAPLUS

CN 2-Pyridinecarboxamide, N-(2,3-dimethyl-1H-indol-5-yl)-6-(4-morpholinyl)-4-[2-(4-morpholinyl)ethoxy]-, monomethanesulfonate (9CI) (CA INDEX NAME)

CM 1

CRN 887133-46-2

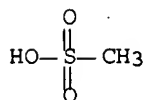
CMF C26 H33 N5 O4



CM 2

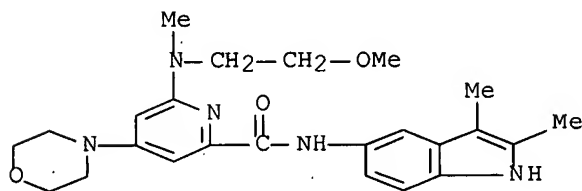
CRN 75-75-2

CMF C H4 O3 S



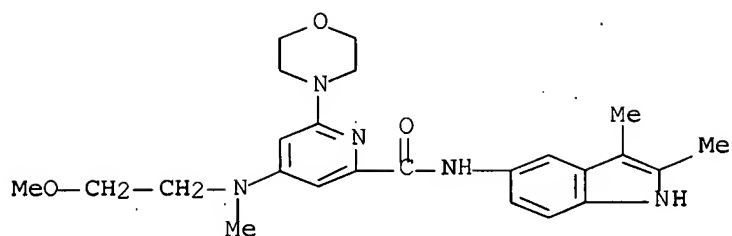
RN 887134-83-0 CAPLUS

CN 2-Pyridinecarboxamide, N-(2,3-dimethyl-1H-indol-5-yl)-6-[(2-methoxyethyl)methylamino]-4-(4-morpholinyl)- (CA INDEX NAME)



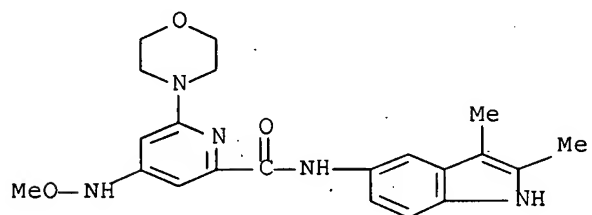
RN 887134-85-2 CAPLUS

CN 2-Pyridinecarboxamide, N-(2,3-dimethyl-1H-indol-5-yl)-4-[(2-methoxyethyl)methylamino]-6-(4-morpholinyl)- (CA INDEX NAME)



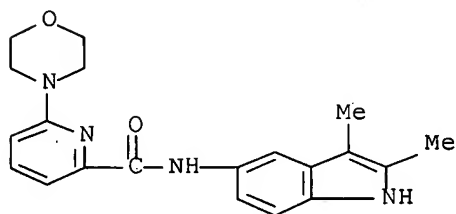
RN 887134-88-5 CAPLUS

CN 2-Pyridinecarboxamide, N-(2,3-dimethyl-1H-indol-5-yl)-4-(methoxyamino)-6-(4-morpholinyl)- (CA INDEX NAME)



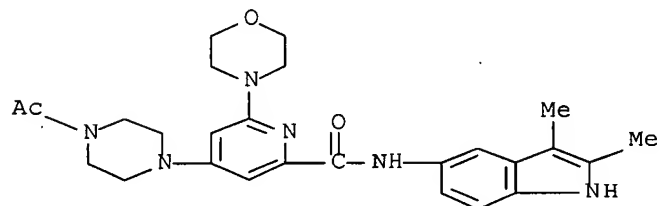
RN 887134-95-4 CAPLUS

CN 2-Pyridinecarboxamide, N-(2,3-dimethyl-1H-indol-5-yl)-6-(4-morpholinyl)- (CA INDEX NAME)



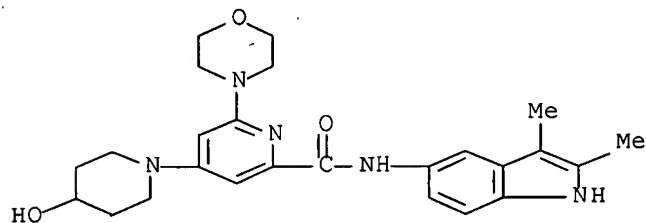
RN 887134-96-5 CAPLUS

CN 2-Pyridinecarboxamide, 4-(4-acetyl-1-piperazinyl)-N-(2,3-dimethyl-1H-indol-5-yl)-6-(4-morpholinyl)- (CA INDEX NAME)



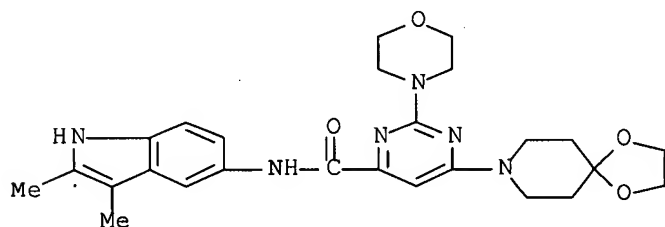
RN 887134-98-7 CAPLUS

CN 2-Pyridinecarboxamide, N-(2,3-dimethyl-1H-indol-5-yl)-4-(4-hydroxy-1-piperidinyl)-6-(4-morpholinyl)- (CA INDEX NAME)



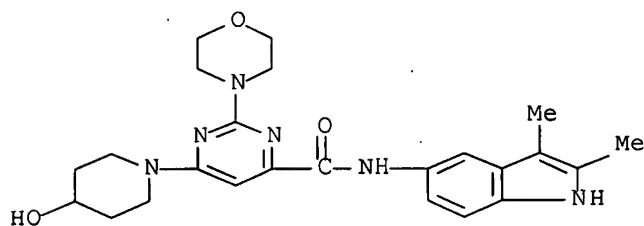
RN 887134-99-8 CAPLUS

CN 4-Pyrimidinecarboxamide, N-(2,3-dimethyl-1H-indol-5-yl)-6-(1,4-dioxo-8-azaspiro[4.5]dec-8-yl)-2-(4-morpholinyl)- (CA INDEX NAME)



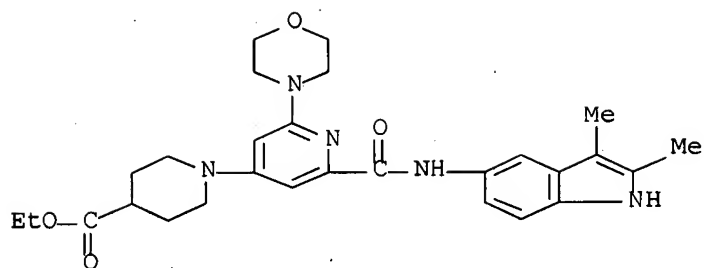
RN 887135-00-4 CAPLUS

CN 4-Pyrimidinecarboxamide, N-(2,3-dimethyl-1H-indol-5-yl)-6-(4-hydroxy-1-piperidinyl)-2-(4-morpholinyl)- (CA INDEX NAME)



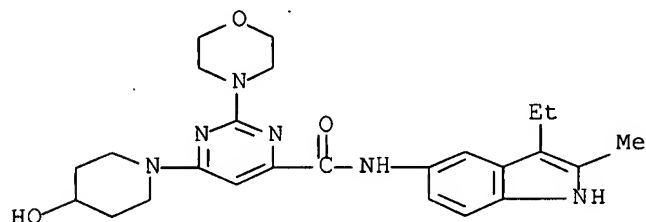
RN 887135-03-7 CAPLUS

CN 4-Piperidinecarboxylic acid, 1-[2-[[[(2,3-dimethyl-1H-indol-5-yl)amino]carbonyl]-6-(4-morpholinyl)-4-pyridinyl]-, ethyl ester (CA INDEX NAME)



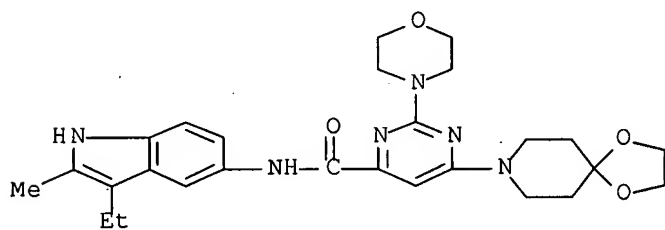
RN 887135-04-8 CAPLUS

CN 4-Pyrimidinecarboxamide, N-(3-ethyl-2-methyl-1H-indol-5-yl)-6-(4-hydroxy-1-piperidinyl)-2-(4-morpholinyl)- (CA INDEX NAME)



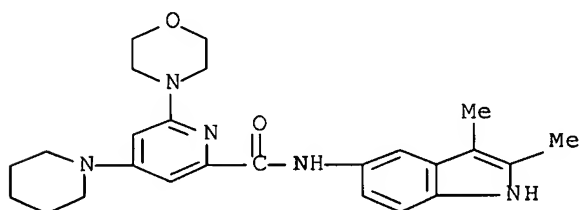
RN 887135-07-1 CAPLUS

CN 4-Pyrimidinecarboxamide, 6-(1,4-dioxo-8-azaspiro[4.5]dec-8-yl)-N-(3-ethyl-2-methyl-1H-indol-5-yl)-2-(4-morpholinyl)- (CA INDEX NAME)



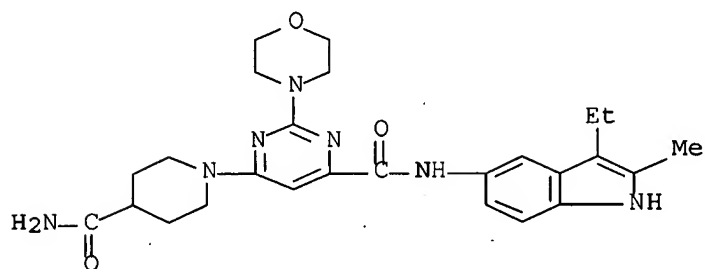
RN 887135-09-3 CAPLUS

CN 2-Pyridinecarboxamide, N-(2,3-dimethyl-1H-indol-5-yl)-6-(4-morpholinyl)-4-(1-piperidinyl)- (CA INDEX NAME)



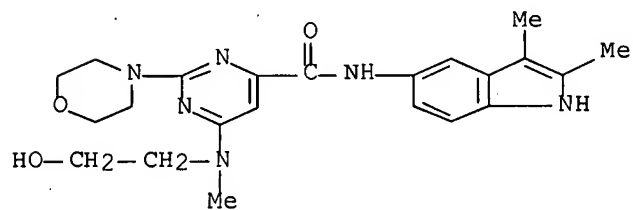
RN 887135-10-6 CAPLUS

CN 4-Pyrimidinecarboxamide, 6-[4-(aminocarbonyl)-1-piperidinyl]-N-(3-ethyl-2-methyl-1H-indol-5-yl)-2-(4-morpholinyl)- (CA INDEX NAME)



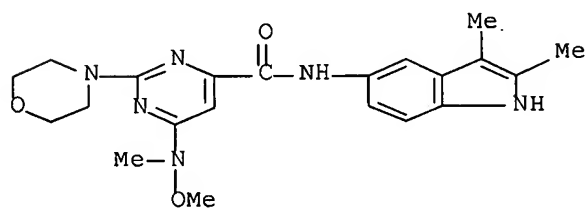
RN 887135-16-2 CAPLUS

CN 4-Pyrimidinecarboxamide, N-(2,3-dimethyl-1H-indol-5-yl)-6-[(2-hydroxyethyl)methylamino]-2-(4-morpholinyl)- (CA INDEX NAME)



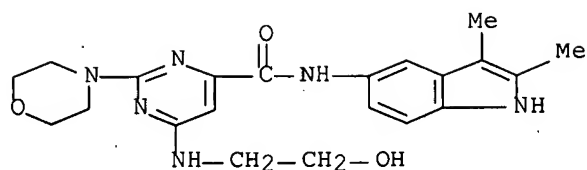
RN 887135-20-8 CAPLUS

CN 4-Pyrimidinecarboxamide, N-(2,3-dimethyl-1H-indol-5-yl)-6-(methoxymethylamino)-2-(4-morpholinyl)- (CA INDEX NAME)



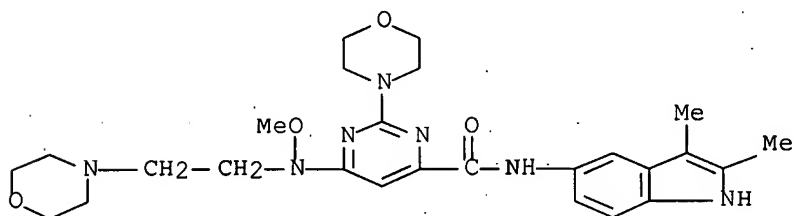
RN 887135-21-9 CAPLUS

CN 4-Pyrimidinecarboxamide, N-(2,3-dimethyl-1H-indol-5-yl)-6-[(2-hydroxyethyl)amino]-2-(4-morpholinyl)- (CA INDEX NAME)



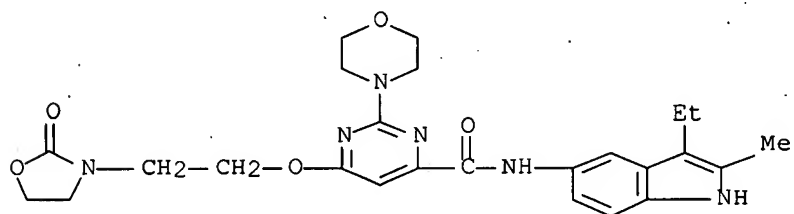
RN 887135-22-0 CAPLUS

CN 4-Pyrimidinecarboxamide, N-(2,3-dimethyl-1H-indol-5-yl)-6-[methoxy[2-(4-morpholinyl)ethyl]amino]-2-(4-morpholinyl)- (CA INDEX NAME)



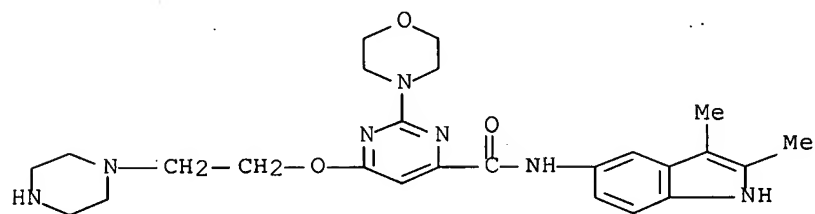
RN 887135-65-1 CAPLUS

CN 4-Pyrimidinecarboxamide, N-(3-ethyl-2-methyl-1H-indol-5-yl)-2-(4-morpholinyl)-6-[2-(2-oxo-3-oxazolidinyl)ethoxy]- (CA INDEX NAME)



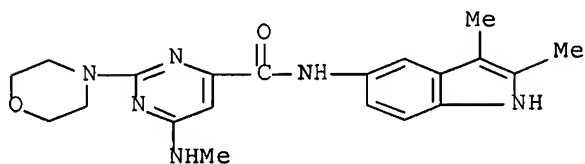
RN 887135-92-4 CAPLUS

CN 4-Pyrimidinecarboxamide, N-(2,3-dimethyl-1H-indol-5-yl)-2-(4-morpholinyl)-6-[2-(1-piperazinyl)ethoxy]- (CA INDEX NAME)



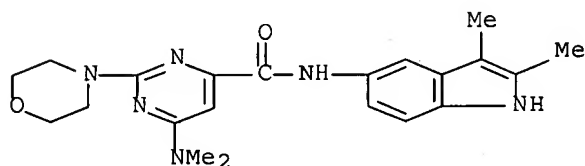
RN 887135-93-5 CAPLUS

CN 4-Pyrimidinecarboxamide, N-(2,3-dimethyl-1H-indol-5-yl)-6-(methylamino)-2-(4-morpholinyl)- (CA INDEX NAME)



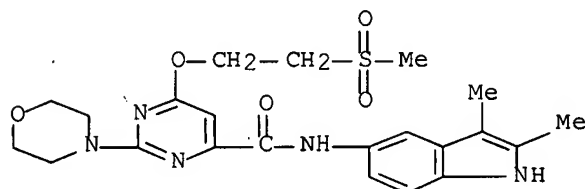
RN 887135-94-6 CAPLUS

CN 4-Pyrimidinecarboxamide, 6-(dimethylamino)-N-(2,3-dimethyl-1H-indol-5-yl)-2-(4-morpholinyl)- (CA INDEX NAME)



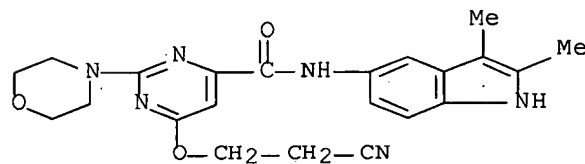
RN 887135-95-7 CAPLUS

CN 4-Pyrimidinecarboxamide, N-(2,3-dimethyl-1H-indol-5-yl)-6-[2-(methylsulfonyl)ethoxy]-2-(4-morpholinyl)- (CA INDEX NAME)



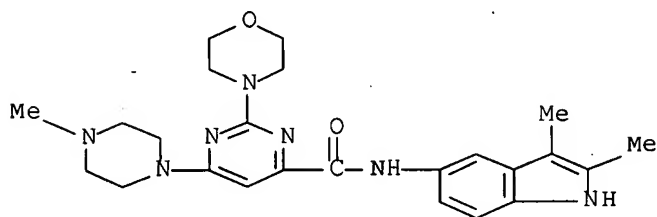
RN 887135-96-8 CAPLUS

CN 4-Pyrimidinecarboxamide, 6-(2-cyanoethoxy)-N-(2,3-dimethyl-1H-indol-5-yl)-2-(4-morpholinyl)- (CA INDEX NAME)



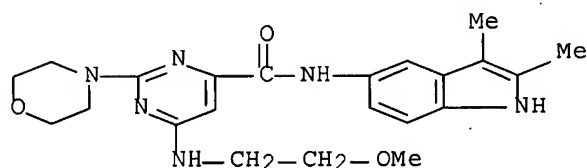
RN 887135-97-9 CAPLUS

CN 4-Pyrimidinecarboxamide, N-(2,3-dimethyl-1H-indol-5-yl)-6-(4-methyl-1-piperazinyl)-2-(4-morpholinyl)- (CA INDEX NAME)



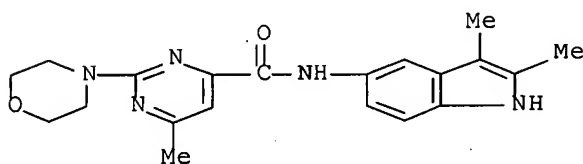
RN 887135-98-0 CAPLUS

CN 4-Pyrimidinecarboxamide, N-(2,3-dimethyl-1H-indol-5-yl)-6-[(2-methoxyethyl)amino]-2-(4-morpholinyl)- (CA INDEX NAME)



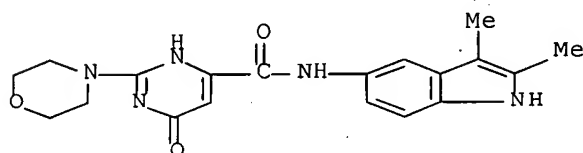
RN 887135-99-1 CAPLUS

CN 4-Pyrimidinecarboxamide, N-(2,3-dimethyl-1H-indol-5-yl)-6-methyl-2-(4-morpholinyl)- (CA INDEX NAME)



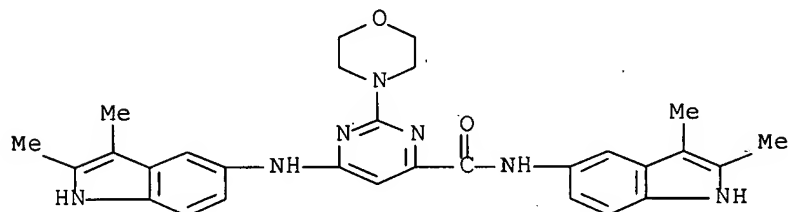
RN 887136-00-7 CAPLUS

CN 4-Pyrimidinecarboxamide, N-(2,3-dimethyl-1H-indol-5-yl)-1,6-dihydro-2-(4-morpholinyl)-6-oxo- (CA INDEX NAME)



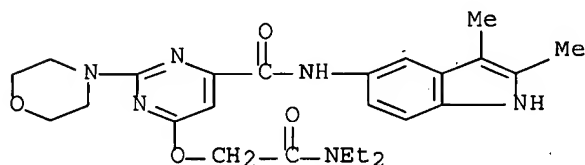
RN 887136-01-8 CAPLUS

CN 4-Pyrimidinecarboxamide, N-(2,3-dimethyl-1H-indol-5-yl)-6-[(2,3-dimethyl-1H-indol-5-yl)amino]-2-(4-morpholinyl)- (CA INDEX NAME)



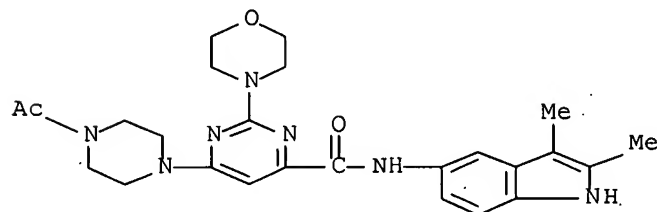
RN 887136-02-9 CAPLUS

CN 4-Pyrimidinecarboxamide, 6-[2-(diethylamino)-2-oxoethoxy]-N-(2,3-dimethyl-1H-indol-5-yl)-2-(4-morpholinyl)- (CA INDEX NAME)



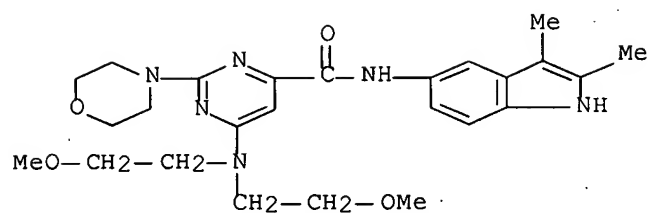
RN 887136-03-0 CAPLUS

CN 4-Pyrimidinecarboxamide, 6-(4-acetyl-1-piperazinyl)-N-(2,3-dimethyl-1H-indol-5-yl)-2-(4-morpholinyl)- (CA INDEX NAME)



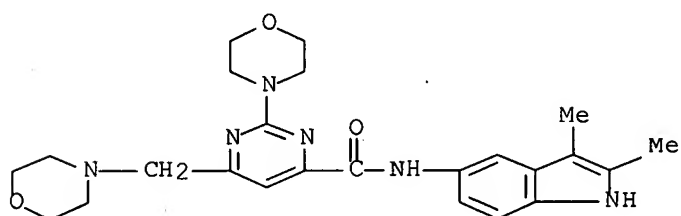
RN 887136-05-2 CAPLUS

CN 4-Pyrimidinecarboxamide, 6-[bis(2-methoxyethyl)amino]-N-(2,3-dimethyl-1H-indol-5-yl)-2-(4-morpholinyl)- (CA INDEX NAME)



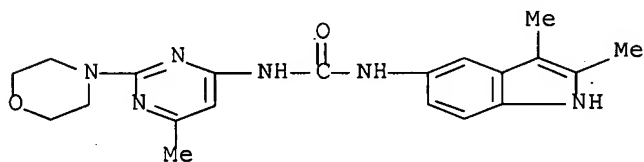
RN 887136-06-3 CAPLUS

CN 4-Pyrimidinecarboxamide, N-(2,3-dimethyl-1H-indol-5-yl)-2-(4-morpholinyl)-6-(4-morpholinylmethyl)- (CA INDEX NAME)



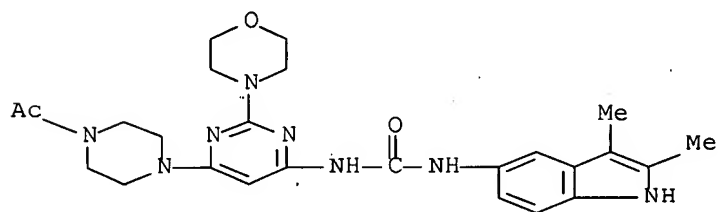
RN 887136-10-9 CAPLUS

CN Urea, N-(2,3-dimethyl-1H-indol-5-yl)-N'-[6-methyl-2-(4-morpholinyl)-4-pyrimidinyl]- (CA INDEX NAME)



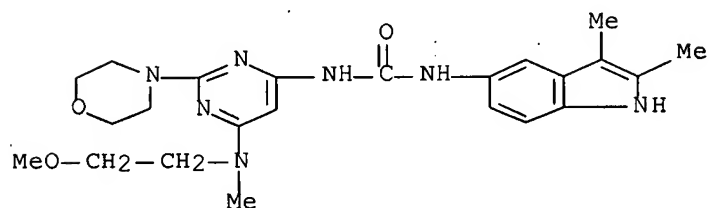
RN 887136-11-0 CAPLUS

CN Piperazine, 1-acetyl-4-[6-[[[(2,3-dimethyl-1H-indol-5-yl)amino]carbonyl]amino]-2-(4-morpholinyl)-4-pyrimidinyl]- (9CI) (CA INDEX NAME)



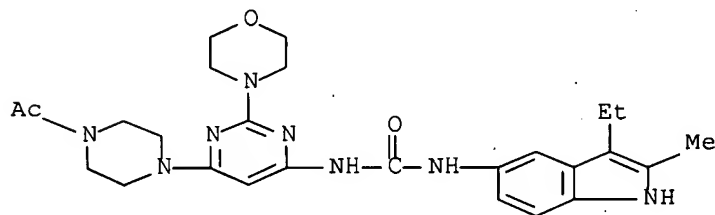
RN 887136-12-1 CAPLUS

CN Urea, N-(2,3-dimethyl-1H-indol-5-yl)-N'-[6-[(2-methoxyethyl)methylamino]-2-(4-morpholinyl)-4-pyrimidinyl]- (CA INDEX NAME)



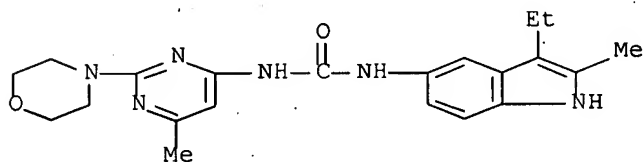
RN 887136-13-2 CAPLUS

CN Piperazine, 1-acetyl-4-[6-[[[(3-ethyl-2-methyl-1H-indol-5-yl)amino]carbonyl]amino]-2-(4-morpholinyl)-4-pyrimidinyl]- (9CI) (CA INDEX NAME)



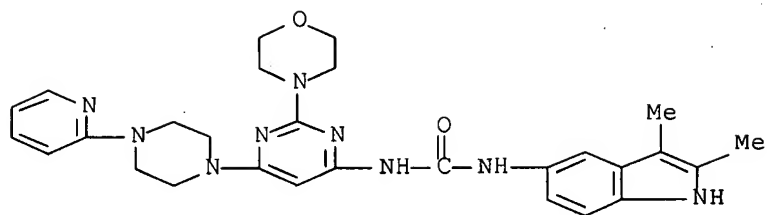
RN 887136-14-3 CAPLUS

CN Urea, N-(3-ethyl-2-methyl-1H-indol-5-yl)-N'-[6-methyl-2-(4-morpholinyl)-4-pyrimidinyl]- (CA INDEX NAME)



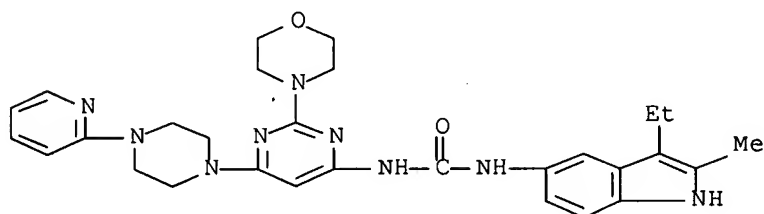
RN 887136-15-4 CAPLUS

CN Urea, N-(2,3-dimethyl-1H-indol-5-yl)-N'-[2-(4-morpholinyl)-6-[4-(2-pyridinyl)-1-piperazinyl]-4-pyrimidinyl]- (CA INDEX NAME)



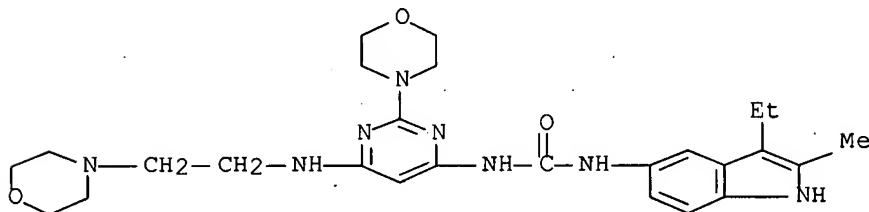
RN 887136-16-5 CAPLUS

CN Urea, N-(3-ethyl-2-methyl-1H-indol-5-yl)-N'-[2-(4-morpholinyl)-6-[4-(2-pyridinyl)-1-piperazinyl]-4-pyrimidinyl]- (CA INDEX NAME)



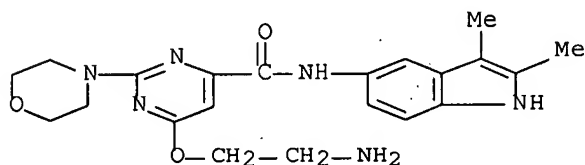
RN 887136-17-6 CAPLUS

CN Urea, N-(3-ethyl-2-methyl-1H-indol-5-yl)-N'-[2-(4-morpholinyl)-6-[[2-(4-morpholinyl)ethyl]amino]-4-pyrimidinyl]- (CA INDEX NAME)



RN 887136-20-1 CAPLUS

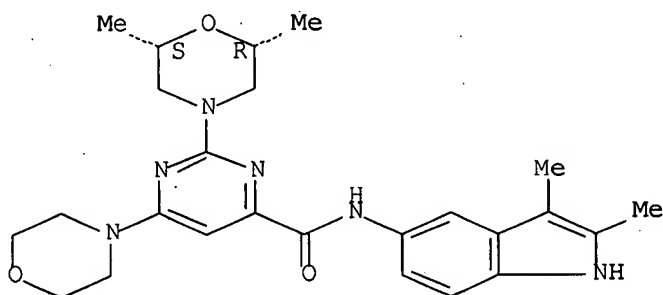
CN 4-Pyrimidinecarboxamide, 6-(2-aminoethoxy)-N-(2,3-dimethyl-1H-indol-5-yl)-2-(4-morpholinyl)- (CA INDEX NAME)



RN 887136-28-9 CAPLUS

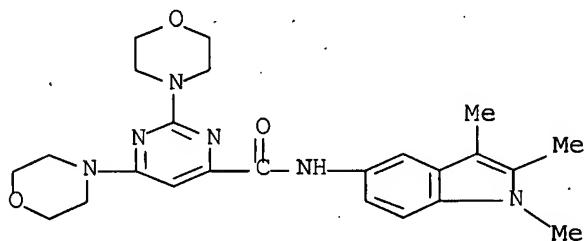
CN 4-Pyrimidinecarboxamide, N-(2,3-dimethyl-1H-indol-5-yl)-2-[(2R,6S)-2,6-dimethyl-4-morpholinyl]-6-(4-morpholinyl)-, rel- (CA INDEX NAME)

Relative stereochemistry.



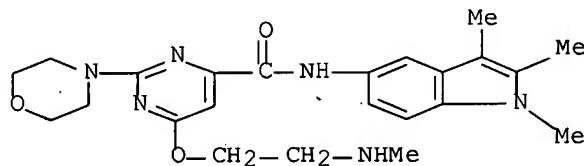
RN 887136-30-3 CAPLUS

CN 4-Pyrimidinecarboxamide, 2,6-di-4-morpholinyl-N-(1,2,3-trimethyl-1H-indol-5-yl)- (CA INDEX NAME)



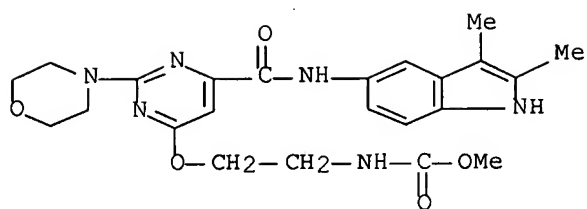
RN 887136-33-6 CAPLUS

CN 4-Pyrimidinecarboxamide, 6-[2-(methylamino)ethoxy]-2-(4-morpholinyl)-N-(1,2,3-trimethyl-1H-indol-5-yl)- (CA INDEX NAME)



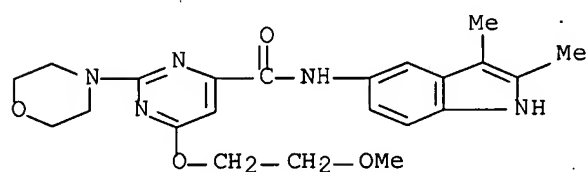
RN 887136-41-6 CAPLUS

CN Carbamic acid, [2-[[6-[[[(2,3-dimethyl-1H-indol-5-yl)amino]carbonyl]-2-(4-morpholinyl)-4-pyrimidinyl]oxy]ethyl]-, methyl ester (9CI) (CA INDEX NAME)



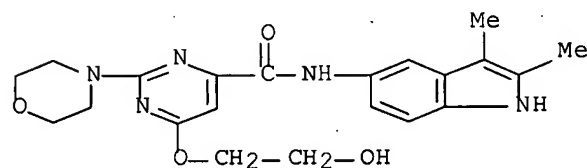
RN 887136-48-3 CAPLUS

CN 4-Pyrimidinecarboxamide, N-(2,3-dimethyl-1H-indol-5-yl)-6-(2-methoxyethoxy)-2-(4-morpholinyl)- (CA INDEX NAME)



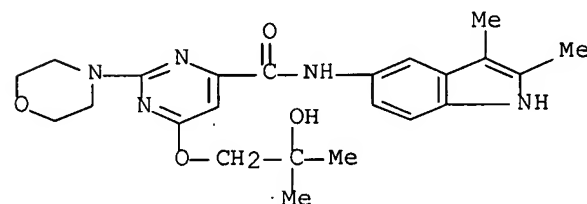
RN 887136-54-1 CAPLUS

CN 4-Pyrimidinecarboxamide, N-(2,3-dimethyl-1H-indol-5-yl)-6-(2-hydroxyethoxy)-2-(4-morpholinyl)- (CA INDEX NAME)



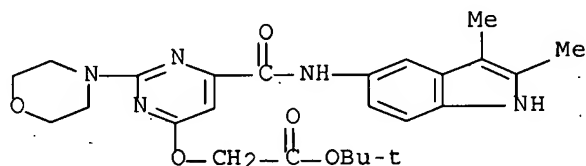
RN 887136-61-0 CAPLUS

CN 4-Pyrimidinecarboxamide, N-(2,3-dimethyl-1H-indol-5-yl)-6-(2-hydroxy-2-methylpropoxy)-2-(4-morpholinyl)- (CA INDEX NAME)



RN 887136-63-2 CAPLUS

CN Acetic acid, [[6-[[[(2,3-dimethyl-1H-indol-5-yl)amino]carbonyl]-2-(4-morpholinyl)-4-pyrimidinyl]oxy]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



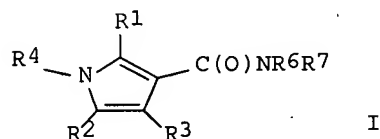
L17 ANSWER 4 OF 11 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 2006:332235 CAPLUS Full-text
 DOCUMENT NUMBER: 144:350539
 TITLE: Preparation of pyrrolecaboxamide derivatives as mineralocorticoid receptor antagonists for use against cancer and other disorders
 INVENTOR(S): Canne Bannen, Lynne; Chen, Jeff; Dalrymple, Lisa Esther; Flatt, Brenton T.; Forsyth, Timothy Patrick; Gu, Xiao-Hu; Mac, Morrison B.; Mann, Larry W.; Mann, Grace; Martin, Richard; Mohan, Raju; Murphy, Brett; Nyman, Michael Charles; Stevens, William C., Jr.; Wang, Tie-Lin; Wong, Yong; Wu, Jason H.
 PATENT ASSIGNEE(S): Exelixis, Inc., USA
 SOURCE: PCT Int. Appl., 477 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006012642	A2	20060202	WO 2005-US26916	20050730
WO 2006012642	A3	20060727		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
AU 2005266890	A1	20060202	AU 2005-266890	20050730
CA 2573426	A1	20060202	CA 2005-2573426	20050730
EP 1773768	A2	20070418	EP 2005-803281	20050730
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU				
CN 101006052	A	20070725	CN 2005-80026842	20050730

IN 2007DN00605	A	20070817	IN 2007-DN605	20070123
NO 2007000910	A	20070426	NO 2007-910	20070216
PRIORITY APPLN. INFO.:			US 2004-592439P	P 20040730
			US 2004-592469P	P 20040730
			WO 2005-US26916	W 20050730

OTHER SOURCE(S): MARPAT 144:350539

GI



AB Pyrrolecaboxamide derivs. (shown as I; other Markush structures for pyrrolecaboxamides are defined in the claims; variables defined below; e.g. 1-[4-fluoro-2-(trifluoromethyl)phenyl]-2,5-dimethyl-1H-pyrrole-3- carboxylic acid N-[4-(sulfamoyl)phenyl]amide (II)), compns. and methods for modulating the activity of receptors are provided. In particular compds. and compns. are provided for modulating the activity of receptors and for the treatment, prevention, or amelioration of .gtoreq.1 symptoms of disease or disorder directly or indirectly related to the activity of the receptors. Semiquant. IC50 values for antagonist activity of 23 examples of I are tabulated and compared to the activity of the Spironolactone control. For I: R1 and R2 = H, halo, cyano, or (un)substituted alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, aryl, aralkyl, heteroaryl, heteroaralkyl, heterocyclyl, or heterocyclylalkyl, or -OR9, -SR9, -N(R9)2, -C(O)OR9 or -C(O)N(R9)2; R3 = H, halo, cyano, (un)substituted alkyl, (un)substituted alkenyl or (un)substituted alkynyl; R4 is H, -C(O)R9, -S(O)2R9, or (un)substituted alkyl, alkenyl or alkynyl, or R4 is (un)substituted cycloalkyl, cycloalkylalkyl, heterocyclyl, heterocyclylalkyl, aryl, aralkyl, heteroaryl or heteroaralkyl; R6 is H or (un)substituted alkyl; R7 is (un)substituted alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, heterocyclyl, heterocyclylalkyl, aryl, aralkyl, heteroaryl or heteroaralkyl; addnl. details are given in the claims. Although the methods of prepn. are not claimed, prepn. and/or characterization data for many examples of I are included. For example, II was prepd. in 5 steps (50, 37, 62, 64, and 66 % yields, resp.) starting with prepn. of 1-[4-fluoro-2-(trifluoromethyl)phenyl]-2,5-dimethyl-1H-pyrrole from 4-fluoro-2-(trifluoromethyl)aniline and 2,5-hexanedione, followed by prepn. of the following intermediates: 1-(4-fluoro-2- trifluoromethylphenyl)-2,5-dimethyl-1H-pyrrole-3-carboxaldehyde, 1-[4-fluoro-2-(trifluoromethyl)phenyl]-2,5-dimethyl-1H-pyrrole-3- carboxylic acid, and 1-[4-fluoro-2-(trifluoromethyl)phenyl]-2,5-dimethyl- 1H-pyrrole-3-carbonyl chloride and finally amide formation with sulfanilamide.

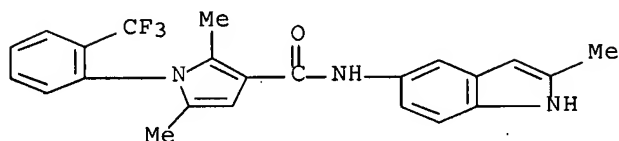
IT 880775-35-9P, 2,5-Dimethyl-1-(2-trifluoromethylphenyl)-1H-pyrrole-3-carboxylic acid N-(2-methyl-1H-indol-5-yl)amide 880779-44-2P, 2,5-Dimethyl-1-[2-[(2-methyl-1H-indol-5-yl)carbamoyl]phenyl]-1H-pyrrole-3-carboxylic acid N-(4-methylsulfonylphenyl)amide

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; prepn. of pyrrolecaboxamide derivs. as mineralocorticoid receptor antagonists for use against cancer and other disorders)

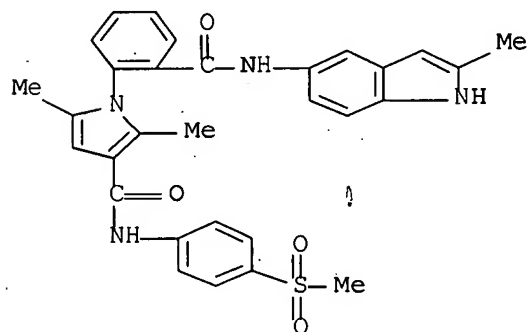
RN 880775-35-9 CAPLUS

CN 1H-Pyrrole-3-carboxamide, 2,5-dimethyl-N-(2-methyl-1H-indol-5-yl)-1-[2-(trifluoromethyl)phenyl]- (CA INDEX NAME)



RN 880779-44-2 CAPLUS

CN 1H-Pyrrole-3-carboxamide, 2,5-dimethyl-1-[2-[[2-methyl-1H-indol-5-yl)amino]carbonyl]phenyl]-N-[4-(methylsulfonyl)phenyl]- (CA INDEX NAME)



L17 ANSWER 5 OF 11 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2006:15782 CAPLUS Full-text

DOCUMENT NUMBER: 144:108221

TITLE: Quinolinonecarboxamides as modulators of ATP-binding cassette transporters, their preparation, pharmaceutical compositions, and use in therapy

INVENTOR(S): Hadida Ruah, Sarah S.; Hazlewood, Anna R.; Grootenhuys, Peter D. J.; Van Goor, Frederick F.; Singh, Ashvani K.; Zhou, Jinglan; McCartney, Jason

PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA

SOURCE: PCT Int. Appl., 319 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006002421	A2	20060105	WO 2005-US22768	20050624
WO 2006002421	A3	20060921		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ,

LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA,
NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK,
SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU,
ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF,
CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM,
KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG,
KZ, MD, RU, TJ, TM

AU 2005258320	A1	20060105	AU 2005-258320	20050624
CA 2571949	A1	20060105	CA 2005-2571949	20050624
US 2006074075	A1	20060406	US 2005-165818	20050624
EP 1773816	A2	20070418	EP 2005-791060	20050624

R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA,
HR, LV, MK, YU

CN 101006076	A	20070725	CN 2005-80028055	20050624
BR 2005011321	A	20070731	BR 2005-11321	20050624
IN 2006KN03938	A	20070622	IN 2006-KN3938	20061228

PRIORITY APPLN. INFO.:

US 2004-582676P	P	20040624
US 2004-630127P	P	20041122
US 2004-635674P	P	20041213
US 2005-658219P	P	20050303
US 2005-661311P	P	20050311
WO 2005-US22768	W	20050624

OTHER SOURCE(S): MARPAT 144:108221

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention relates to quinolinonecarboxamides of formula I, which are modulators of ATP-Binding Cassette (ABC) transporters or fragments thereof, including Cystic Fibrosis Transmembrane Conductance Regulator (CFTR). In compds. I, each of R1, R2, R3, R4, and R5 is independently -X-Rx, where X is a bond, (un)substituted C1-6 alkylene, optionally with up to two methylene units replaced by C(O), C(S), C(O)N, C(O)O, OC(O), etc., and Rx is selected from H, halo, nitro, cyano, CF3, CF3O, C1-8 alkyl, aryl, heteroaryl, heterocyclyl, cycloalkyl, and a bicyclic ring system; R6 is H, OH, SH, CF3, C1-8 alkoxy, aryloxy, etc.; R7 is H or C1-6 alkyl, optionally substituted with -X-Rx as defined above; and Ar is (un)substituted 5- or 6-membered aryl or heteroaryl ring having 0-4 heteroatoms independently selected from N, O, and S, optionally fused to a 5- to 12-membered monocyclic or bicyclic ring system contg. 0-4 heteroatoms independently selected from N, O, and S. The invention also relates to the prepn. of I, pharmaceutical compns. comprising a compd. I and a pharmaceutically acceptable carrier or adjuvant, as well as to the use of the compns. for the modulation of ATP-binding cassette (ABC) transporters. Substitution of di-Et 2-(ethoxymethylene)malonate with aniline followed by cyclocondensation and ester hydrolysis gave quinolinone II. Nitration of 3-methylbenzoic acid and esterification gave Et 5-methyl-2,4-dinitrobenzoate, which underwent condensation with N-(dimethoxymethyl)-dimethylamine and reductive cyclization to aminoindole III. Amidation of II with III followed by ester hydrolysis and amidation with piperidine gave quinolinonecarboxamide IV. Several compds. of the invention, e.g., IV, express EC50 values below 10 .mu.M as modulators of ABC transporters.

IT 873052-44-9P

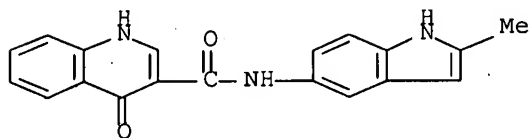
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(Uses)

(drug candidate; prepn. of quinolinonecarboxamides as modulators of
ATP-binding cassette transporters)

RN 873052-44-9 CAPLUS

CN 3-Quinolinecarboxamide, 1,4-dihydro-N-(2-methyl-1H-indol-5-yl)-4-oxo- (CA
INDEX NAME)



L17 ANSWER 6 OF 11 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2005:493504 CAPLUS Full-text

DOCUMENT NUMBER: 143:43767

TITLE: Preparation of substituted urea-octahydroindoles as
antagonists of melanin concentrating hormone receptor
1 (MCH1R)

INVENTOR(S): Browning, Andrew; Nilsson, Jonas; Scobie, Martin;
Angbrant, Johan; Ringom, Rune

PATENT ASSIGNEE(S): Biovitrum AB, Swed.

SOURCE: PCT Int. Appl., 272 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005051381	A1	20050609	WO 2004-SE1620	20041109
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
US 2005239841	A1	20051027	US 2004-997675	20041124
PRIORITY APPLN. INFO.:			SE 2003-3182	A 20031126
			US 2004-581057P	P 20040618
OTHER SOURCE(S):	MARPAT 143:43767			
GI				

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [wherein R0 = alkyl, absent; R1, R2 = independently H, halo/aryl/alkyl; R1-R2 = alkylene; R3 = H, thio/carbamoyl, CN, alk(en)yl, etc.; R4 = alkyl, aryl; R5, R6 = independently H, alk(en)yl, alkoxyalkyl, cycloalkyl; R7 = H, alkyl; R8 = H, halo; R9 = H; or R9 forms CH2 together with R3; Ar = 5-7-membered aryl; 5-7-membered unsatd. heterocyclyl, bicyclyl, etc.; X = O, S, NH, CH-NO2, NCN; and their pharmaceutically acceptable salts, hydrates, geometrical isomers, racemates, tautomers, optical isomers, N-oxides and prodrugs] were prepd. as melanin concg. hormone receptor 1 (MCH1R) antagonists. For example, rel-II.bul.TFA was prepd. by Pd-cross coupling of 4-bromoaniline with 3-cyanophenylboronic acid, reaction with 4-nitrophenylchloroformate in the presence of DIPA/CH2Cl2 and treatment of the carbamate (no data) with (3aS*,6R*,7aS*)-3a-(3,4-dimethoxyphenyl)-1-methyloctahydro-1H-indol-6-amine (prepn. given). I exhibited IC50 values for the MCH1R receptor in the range 10 nM to 10 .mu.M. I and their pharmaceutical compns. are useful for the treatment or prophylaxis of disorders related to the MCH1R receptor and for modulation of appetite.

IT 853766-85-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; prepn. of urea-octahydroindoles as melanin concg. hormone receptor 1 antagonists)

RN 853766-85-5 CAPLUS

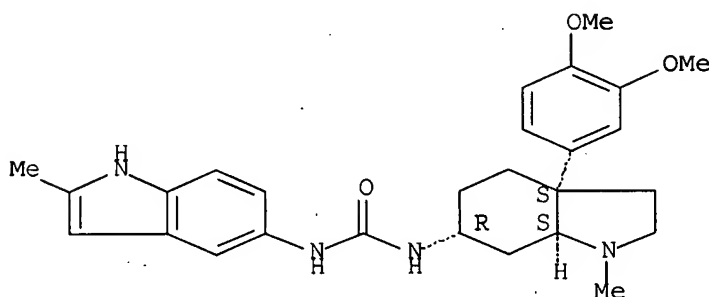
CN Urea, N-[(3aR,6S,7aR)-3a-(3,4-dimethoxyphenyl)octahydro-1-methyl-1H-indol-6-yl]-N'-(2-methyl-1H-indol-5-yl)-, rel-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

CRN 853766-84-4

CMF C27 H34 N4 O3

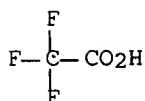
Relative stereochemistry.



CM 2

CRN 76-05-1

CMF C2 H F3 O2

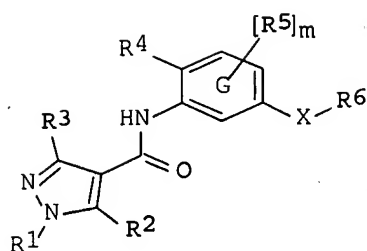


REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

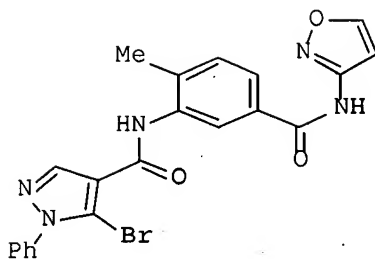
L17 ANSWER 7 OF 11 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 2004:995918 CAPLUS Full-text
 DOCUMENT NUMBER: 141:424181
 TITLE: Preparation of pyrazole-amide compounds useful as p38 kinase inhibitors
 INVENTOR(S): Dyckman, Alaric; Das, Jagabandhu; Leftheris, Katerina; Liu, Chunjian; Moquin, Robert V.; Wrobleski, Stephen T.
 PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
 SOURCE: PCT Int. Appl., 84 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 3
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004098518	A2	20041118	WO 2004-US13594	20040503
WO 2004098518	A3	20050127		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2004248853	A1	20041209	US 2004-838006	20040503
US 7151113	B2	20061219		
US 2005004176	A1	20050106	US 2004-837778	20040503
US 2005159424	A1	20050721	US 2004-838129	20040503
EP 1617840	A2	20060125	EP 2004-760683	20040503
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
US 2006247247	A1	20061102	US 2006-477010	20060628
US 7253170	B2	20070807		
PRIORITY APPLN. INFO.:			US 2003-467029P	P 20030501
			US 2004-838006	A3 20040503
			WO 2004-US13594	W 20040503

OTHER SOURCE(S): MARPAT 141:424181
 GI



I



II

AB The title compds. I [G = Ph, pyridyl; R1 = H, alkyl, aryl, etc.; R2 = H, hydroxyalkyl, alkoxyalkyl, halo, etc.; R3 = H, haloalkyl, haloalkoxy, etc.; R4 = H, alkyl, halo, etc.; R5 = haloalkyl, haloalkoxy, CN, etc.; X = CONH, NHCO, NHCO2, SO2NH, CO2, or is absent; R6 = H, alkyl, alkoxy, phenoxy, etc.; m = 0-3; with provisos] which are useful for treating p38 kinase-assocd. conditions (no data), were prepd. E.g., a 3-step synthesis of II, starting from Et 5-amino-1-phenyl-1H-pyrazole-4-carboxylate, was given. The invention further pertains to pharmaceutical compns. contg. at least one compd. I useful for treating p38 kinase-assocd. conditions, and methods of inhibiting the activity of p38 kinase in a mammal.

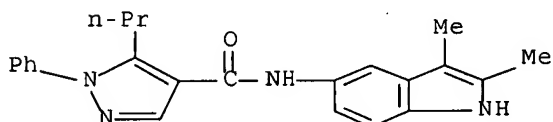
IT 796063-40-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of pyrazolecarboxamides as p38 kinase inhibitors)

RN 796063-40-6 CAPLUS

CN 1H-Pyrazole-4-carboxamide, N-(2,3-dimethyl-1H-indol-5-yl)-1-phenyl-5-propyl- (CA INDEX NAME)



L17 ANSWER 8 OF 11 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2004:220082 CAPLUS [Full-text](#)

DOCUMENT NUMBER: 140:253556

TITLE: Preparation of 5-thiazolecarboxamides as protein tyrosine kinase inhibitors

INVENTOR(S): Das, Jagabandhu; Padmanabha, Ramesh; Chen, Ping; Norris, Derek J.; Dowejko, Arthur M. P.; Barrish, Joel C.; Wityak, John; Lombardo, Louis J.; Lee, Francis Y. F.

PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA

SOURCE: U.S. Pat. Appl. Publ., 184 pp., Cont.-in-part of U.S. 6,596,746.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004054186	A1	20040318	US 2003-395503	20030324
US 7125875	B2	20061024		
US 6596746	B1	20030722	US 2000-548929	20000413
US 2004024208	A1	20040205	US 2003-378372	20030303
US 6979694	B2	20051227		
US 2004073026	A1	20040415	US 2003-378461	20030303
US 7091223	B2	20060815		
US 2004077875	A1	20040422	US 2003-378373	20030303
AU 2004223828	A1	20041007	AU 2004-223828	20040323
CA 2519898	A1	20041007	CA 2004-2519898	20040323
WO 2004085388	A2	20041007	WO 2004-US8827	20040323
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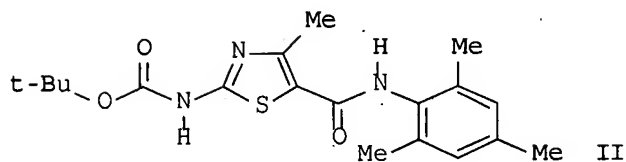
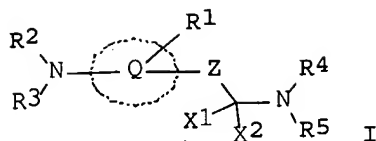
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EP 1610780	A2	20060104	EP 2004-758053	20040323
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BR 2004008782	A	20060328	BR 2004-8782	20040323
CN 1764454	A	20060426	CN 2004-80007845	20040323
JP 2006523216	T	20061012	JP 2006-507475	20040323
CN 1989969	A	20070704	CN 2006-10172441	20040323
US 2005261305	A1	20051124	US 2005-138793	20050526
US 7189854	B2	20070313		
US 2005288303	A1	20051229	US 2005-138942	20050526
US 7153856	B2	20061226		
NO 2005004359	A	20051019	NO 2005-4359	20050920
US 2006079563	A1	20060413	US 2005-271626	20051110

PRIORITY APPLN. INFO.:

US 1999-129510P	P	19990415
US 2000-548929	A2	20000413
US 2003-378373	A1	20030303
US 2003-395503	A	20030324
CN 2004-80007845	A3	20040323
WO 2004-US8827	W	20040323

OTHER SOURCE(S): MARPAT 140:253556
GI



AB The title compds. [I; Q = (un)substituted 5-6 membered heteroaryl, aryl; Z = a single bond, R1C:CH, (CH2)*m* (*m* = 1-2); X1, X2 = H; X1 and X2 together = O, S; R1 = H, alkyl, alkenyl, etc.; R2, R3 = H, alkyl, alkenyl, etc.; R4, R5 = H, alkyl, alkenyl, etc.], useful in the treatment of protein tyrosine kinase-assocd. disorders such as immunol. and oncol. disorders (no data), were prepd. E.g., a multi-step synthesis of thiazole II was given. Compds. I are effective at 0.1-100 mg/kg/day. The pharmaceutical compn. comprising the title compds. is claimed.

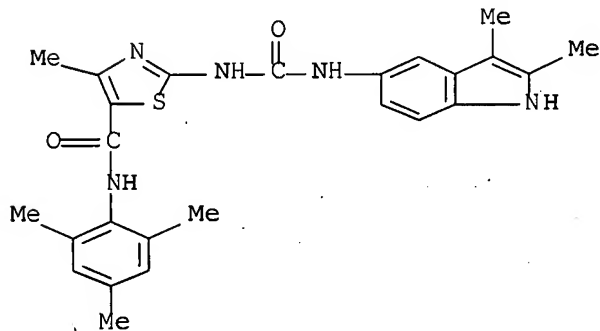
IT 302960-73-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of 5-thiazolecarboxamides as protein tyrosine kinase inhibitors)

RN 302960-73-2 CAPLUS

CN 5-Thiazolecarboxamide, 2-[[[(2,3-dimethyl-1H-indol-5-yl)amino]carbonyl]amino]-4-methyl-N-(2,4,6-trimethylphenyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT:

149

THERE ARE 149 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L17 ANSWER 9 OF 11 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2003:622568 CAPLUS Full-text

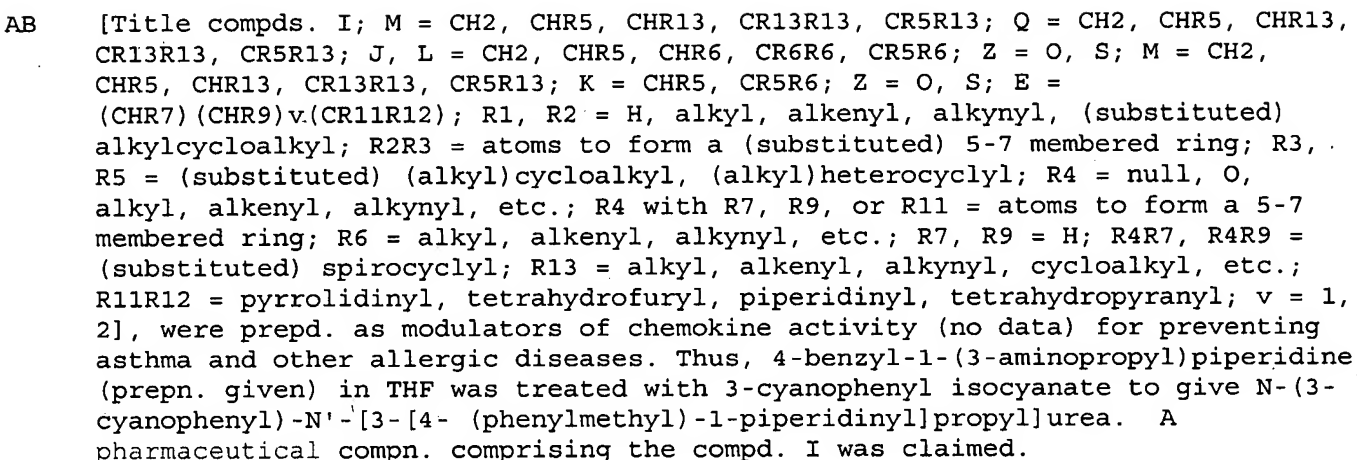
DOCUMENT NUMBER: 139:164710
 TITLE: Preparation of ureidoalkylpiperidines as modulators of chemokine CCR3 receptor activity.
 INVENTOR(S): Ko, Soo S.; Delucca, George V.; Duncia, John V.; Santella, Joseph B., III; Wacker, Dean A.
 PATENT ASSIGNEE(S): Bristol-Myers Squibb Pharma Company, USA
 SOURCE: U.S., 145 pp., Cont.-in-part of U.S. Ser. No. 465,286, abandoned.
 CODEN: USXXAM
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 10
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6605623	B1	20030812	US 2000-598821	20000621
US 6331541	B1	20011218	US 1999-465288	19991217
ZA 2001003756	A	20020509	ZA 2001-3756	20010509
CA 2413274	A1	20011227	CA 2001-2413274	20010620
WO 2001098269	A2	20011227	WO 2001-US19745	20010620
WO 2001098269	A3	20030710		
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EP 1363881	A2	20031126	EP 2001-950358	20010620
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY, TR				
JP 2004517803	T	20040617	JP 2002-504225	20010620
US 2003013741	A1	20030116	US 2001-7172	20011023
US 6521592	B2	20030218		
US 2004002515	A1	20040101	US 2002-279416	20021024
US 6875776	B2	20050405		
US 2004006107	A1	20040108	US 2002-279231	20021024
US 6780857	B2	20040824		
US 2004058960	A1	20040325	US 2003-465191	20030619
US 6906066	B2	20050614		
US 2005192291	A1	20050901	US 2004-21042	20041223

PRIORITY APPLN. INFO.:

US 1998-112717P	P	19981218
US 1999-161243P	P	19991022
US 1999-465286	B2	19991217
US 1999-161137P	P	19991022
US 1999-161184P	P	19991022
US 1999-161222P	P	19991022
US 1999-465287	A3	19991217
US 1999-465288	A3	19991217
US 1999-465948	A3	19991217
US 2000-213051P	P	20000621
US 2000-598821	A	20000621
WO 2001-US19745	W	20010620
US 2002-279416	A1	20021024

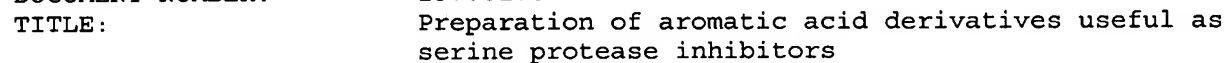
OTHER SOURCE(S): MARPAT 139:164710
 GI



RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

RN 275812-24-3 CAPLUS

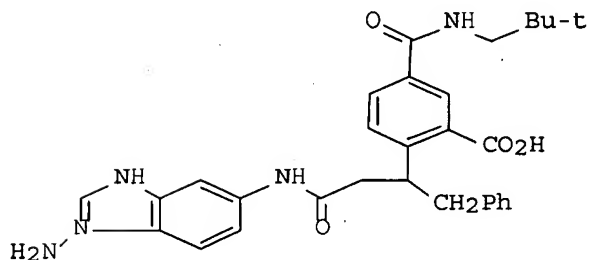
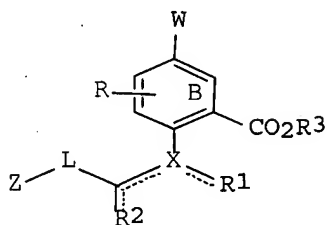
Absolute stereochemistry.



INVENTOR(S): Bisacchi, Gregory S.; Sutton, James C., Jr.;
 Slusarchyk, William A.; Treuner, Uwe D.; Zhao, Guohua;
 Cheney, Daniel L.; Wu, Shung C.; Shi, Yan
 PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
 SOURCE: PCT Int. Appl., 182 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 3
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002042273	A2	20020530	WO 2001-US46884	20011107
WO 2002042273	A3	20020829		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2428191	A1	20020530	CA 2001-2428191	20011107
AU 200227269	A	20020603	AU 2002-27269	20011107
EP 1332131	A2	20030806	EP 2001-996145	20011107
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004514669	T	20040520	JP 2002-544409	20011107
HU 2004000651	A2	20040628	HU 2004-651	20011107
PRIORITY APPLN. INFO.:			US 2000-246392P	P 20001107
			WO 2001-US46884	W 20011107

OTHER SOURCE(S): MARPAT 137:6176
 GI



AB Arom. compds. I, are useful as serine protease inhibitors, wherein ring B is Ph or pyridyl; W is amide, alkyl, alkenyl, heterocycle, heteroaryl, aryl, cycloalkyl; L is a linker group; X is N, CH, or C, provided that X is C when R1 and R2 join to form a fully unsatd. ring; Z is an optionally-substituted monocyclic or bicyclic ring system; R is H, alkoxy, amine, alkyl, alkenyl, halogen, haloalkyl, cyano, nitro, alkylthio, CHO, acyl, CO₂H, alkoxycarbonyl, sulfonamido, sulfonyl, Ph; R1 and R2 (i) are independently selected from hydrogen, alkyl, alkenyl, heteroaryl, aryl, heterocycle, and cycloalkyl; or (ii) are taken together to form an aryl, heteroaryl, cycloalkyl, or heterocycle, provided that R1 and R2 do not together form pyrazole when W is methoxy and Z is biphenyl; and when R1 and R2 individually or together form a heteroaryl, aryl, heterocycle, cycloalkyl; R3 is hydrogen, alkyl, substituted alkyl, heteroaryl, aryl, heterocycle, cycloalkyl, or alkyl substituted with -OC(O)R4 or -OC(O)OR4, wherein R4 is alkyl, cycloalkyl, provided that R3 is not Ph when W is methoxy. Thus, II was prepd. for treating a coagulation-assocd. disorder, an inflammatory or immune disease, or metastases (no data). Included within the scope of the invention are pharmaceutical compns. for treating a serine protease disease, an inflammatory or immune condition, or cancer.

IT 431049-42-2P 431049-43-3P

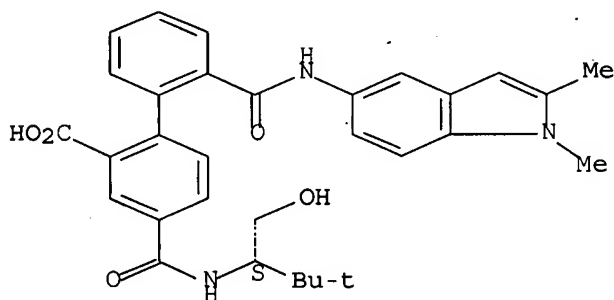
RL: BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of arom. acid derivs. useful as anti-inflammatory, anticoagulant, antitumor, immunomodulator agents and serine protease inhibitors)

RN 431049-42-2 CAPLUS

CN [1,1'-Biphenyl]-2-carboxylic acid, 2'-[[[(1,2-dimethyl-1H-indol-5-yl)amino]carbonyl]-4-[[[(1S)-1-(hydroxymethyl)-2,2-dimethylpropyl]amino]carbonyl]- (9CI) (CA INDEX NAME)

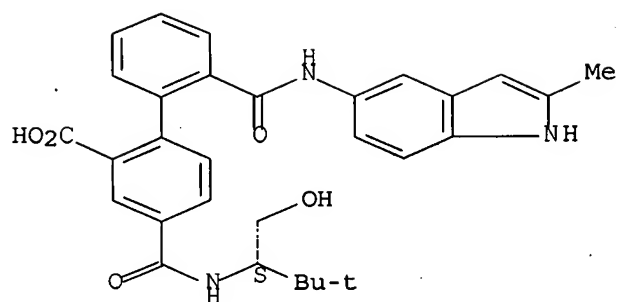
Absolute stereochemistry.



RN 431049-43-3 CAPLUS

CN [1,1'-Biphenyl]-2-carboxylic acid, 4-[[[(1S)-1-(hydroxymethyl)-2,2-dimethylpropyl]amino]carbonyl]-2'-[[[(2-methyl-1H-indol-5-yl)amino]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L17 ANSWER 11 OF 11 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1994:134294 CAPLUS Full-text

DOCUMENT NUMBER: 120:134294

TITLE: Preparation of indolyl pyridylureas as 5-HT_{1c} receptor antagonists

INVENTOR(S): Forbes, Ian Thomson; Martin, Roger Thomas

PATENT ASSIGNEE(S): Beecham Group PLC, UK

SOURCE: PCT Int. Appl., 67 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

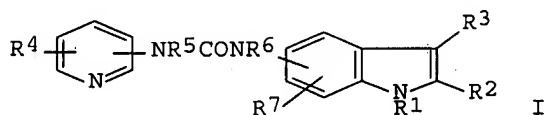
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9318026	A1	19930916	WO 1992-GB381	19920304
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PRIORITY APPLN. INFO.:			WO 1992-GB381	19920304
OTHER SOURCE(S):	MARPAT 120:134294			

GI



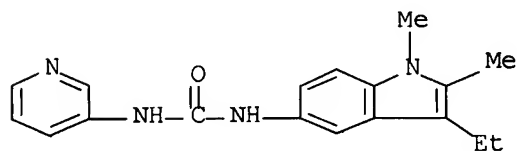
AB Title compds. I (R₁, R₂, R₃ = H, C₁-6 alkyl; R₄ = H, C₁-6 alkyl, halo, HO, R₉R₈N where R₈, R₉ = H, C₁-6 alkyl; R₅, R₆ = H, C₁-6 alkyl, halo) or a salt thereof, are prepd. 5-Amino-1-methyl-1H-indole (prepn. given), COCl₂ and 3-aminopyridine were reacted to give I (R₁ = Me, R₂-7 = H) converted to the HCl salt (II). In test to assess the antagonist action, II had a KB (apparent dissocn. const.) of 1 times. 10⁻⁷M. I are claimed to be useful in CNS disorders treatment (no data) in rat stomach fundus.

IT 143797-60-8P 143797-61-9P 143797-64-2P
 143797-65-3P 143797-81-3P 143797-82-4P
 143797-83-5P 143797-87-9P 143797-88-0P
 143797-90-4P 143798-19-0P 143798-20-3P
 143798-24-7P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as 5-HT1c antagonist)

RN 143797-60-8 CAPLUS

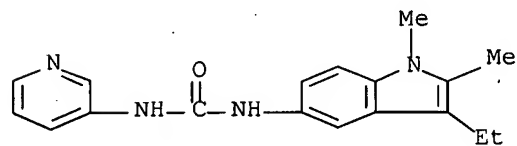
CN Urea, N-(3-ethyl-1,2-dimethyl-1H-indol-5-yl)-N'-3-pyridinyl-,
monohydrochloride (9CI) (CA INDEX NAME)



● HCl

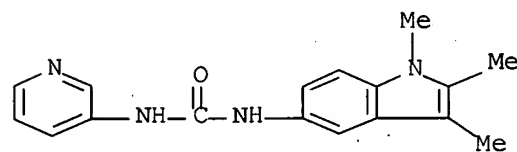
RN 143797-61-9 CAPLUS

CN Urea, N-(3-ethyl-1,2-dimethyl-1H-indol-5-yl)-N'-3-pyridinyl- (9CI) (CA
INDEX NAME)



RN 143797-64-2 CAPLUS

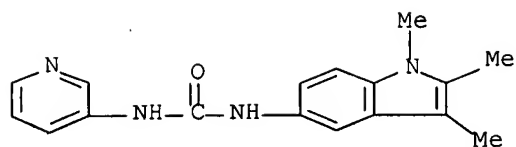
CN Urea, N-3-pyridinyl-N'-(1,2,3-trimethyl-1H-indol-5-yl)-, monohydrochloride
(9CI) (CA INDEX NAME)



● HCl

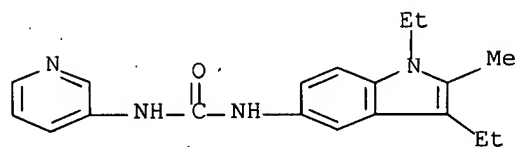
RN 143797-65-3 CAPLUS

CN Urea, N-3-pyridinyl-N'-(1,2,3-trimethyl-1H-indol-5-yl)- (9CI) (CA INDEX
NAME)



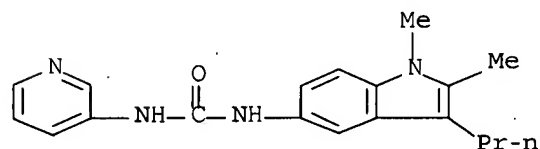
RN 143797-81-3 CAPLUS

CN Urea, N-(1,3-diethyl-2-methyl-1H-indol-5-yl)-N'-3-pyridinyl- (9CI) (CA INDEX NAME)



RN 143797-82-4 CAPLUS

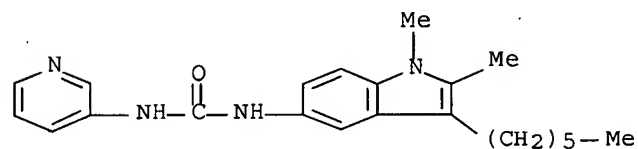
CN Urea, N-(1,2-dimethyl-3-propyl-1H-indol-5-yl)-N'-3-pyridinyl-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

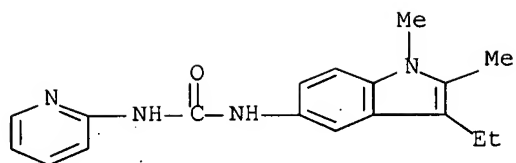
RN 143797-83-5 CAPLUS

CN Urea, N-(3-hexyl-1,2-dimethyl-1H-indol-5-yl)-N'-3-pyridinyl- (9CI) (CA INDEX NAME)



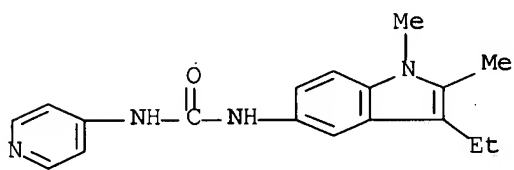
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RN 143797-88-0 CAPLUS

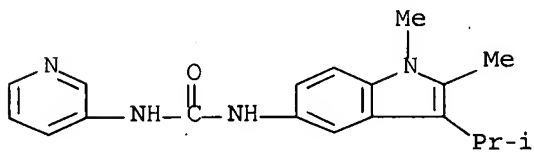
CN Urea, N-(3-ethyl-1,2-dimethyl-1H-indol-5-yl)-N'-4-pyridinyl-,
monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 143797-90-4 CAPLUS

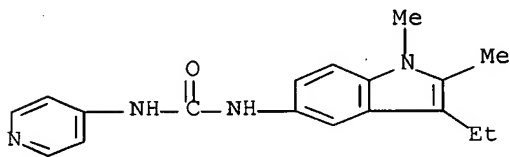
CN Urea, N-[1,2-dimethyl-3-(1-methylethyl)-1H-indol-5-yl]-N'-3-pyridinyl-,
monohydrochloride (9CI) (CA INDEX NAME)



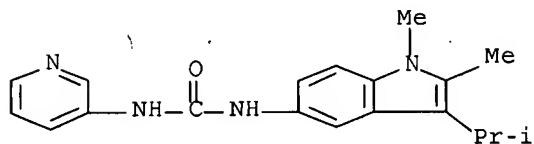
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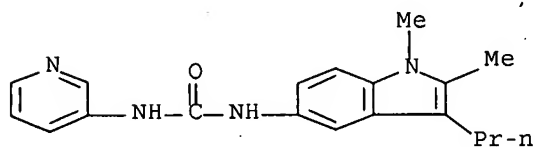
CN Urea, N-(3-ethyl-1,2-dimethyl-1H-indol-5-yl)-N'-4-pyridinyl- (9CI) (CA
INDEX NAME)



RN 143798-20-3 CAPLUS
 CN Urea, N-[1,2-dimethyl-3-(1-methylethyl)-1H-indol-5-yl]-N'-3-pyridinyl-
 (9CI) (CA INDEX NAME)



RN 143798-24-7 CAPLUS
 CN Urea, N-(1,2-dimethyl-3-propyl-1H-indol-5-yl)-N'-3-pyridinyl- (9CI) (CA
 INDEX NAME)



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Executing the logoff script...

=> LOG H

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COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	103.62	803.36
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-11.70	-12.48

SESSION WILL BE HELD FOR 120 MINUTES
 STN INTERNATIONAL SESSION SUSPENDED AT 15:20:23 ON 13 OCT 2007